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                 Web Page for STN Seminar Schedule - N. America
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      2 DEC 01
                 ChemPort single article sales feature unavailable
NEWS
         FEB 02
                 Simultaneous left and right truncation (SLART) added
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
                 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS
         FEB 02
NEWS
         FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS
         FEB 10 COMPENDEX reloaded and enhanced
      7
         FEB 11
                 WTEXTILES reloaded and enhanced
NEWS
NEWS 8 FEB 19
                 New patent-examiner citations in 300,000 CA/CAplus
                 patent records provide insights into related prior
                 art.
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      9
         FEB 19
                 Increase the precision of your patent queries -- use
                 terms from the IPC Thesaurus, Version 2009.01
NEWS 10
         FEB 23
                 Several formats for image display and print options
                 discontinued in USPATFULL and USPAT2
NEWS 11
         FEB 23
                 MEDLINE now offers more precise author group fields
                 and 2009 MeSH terms
NEWS 12
         FEB 23
                 TOXCENTER updates mirror those of MEDLINE - more
                 precise author group fields and 2009 MeSH terms
NEWS 13
         FEB 23
                 Three million new patent records blast AEROSPACE into
                 STN patent clusters
NEWS 14
         FEB 25
                 USGENE enhanced with patent family and legal status
                 display data from INPADOCDB
NEWS 15
         MAR 06
                 INPADOCDB and INPAFAMDB enhanced with new display
                 formats
NEWS 16
         MAR 11
                 EPFULL backfile enhanced with additional full-text
                 applications and grants
                 ESBIOBASE reloaded and enhanced
NEWS 17
         MAR 11
         MAR 20 CAS databases on STN enhanced with new super role
NEWS 18
                 for nanomaterial substances
NEWS 19
         MAR 23
                 CA/CAplus enhanced with more than 250,000 patent
                 equivalents from China
NEWS 20
         MAR 30
                 IMSPATENTS reloaded and enhanced
NEWS 21
         APR 03
                 CAS coverage of exemplified prophetic substances
                  enhanced
NEWS 22
         APR 07
                 STN is raising the limits on saved answers
NEWS 23
         APR 24
                 CA/CAplus now has more comprehensive patent assignee
                  information
NEWS 24
         APR 26
                 USPATFULL and USPAT2 enhanced with patent
                 assignment/reassignment information
NEWS 25 APR 28 CAS patent authority coverage expanded
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NEWS 26 APR 28 ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS 27 APR 28 Limits doubled for structure searching in CAS
REGISTRY

NEWS 28 MAY 08 STN Express, Version 8.4, now available
NEWS 29 MAY 11 STN on the Web enhanced
NEWS 30 MAY 11 BEILSTEIN substance information now available on
STN Easy

NEWS 31 MAY 14 DGENE, PCTGEN and USGENE enhanced with increased
limits for exact sequence match searches and
introduction of free HIT display format

NEWS 32 MAY 15 INPADOCDB and INPAFAMDB enhanced with Chinese legal status data

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4, AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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=> FILE REGISTRY

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SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.22
0.22

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10572826.str

chain nodes :
10 11 12 13 15 16 17
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
3-10 5-16 6-17 10-11 11-12 11-13 11-15
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :

3-10 5-6 5-9 5-16 6-7 6-17 8-9 10-11 11-12 11-13 11-15 normalized bonds : 1-2 1-7 2-3 3-4 4-8 7-8 isolated ring systems : containing 1 :

G1:Ph,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

G1 Ph, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:29:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 261 TO ITERATE

100.0% PROCESSED 261 ITERATIONS 50 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4251 TO 6189
PROJECTED ANSWERS: 1164 TO 2276

L2 50 SEA SSS SAM L1

=> s 11 sss full FULL SEARCH INITIATED 15:30:06 FILE 'REGISTRY'

10572826.trn 05/26/2009 Page 4

10572826

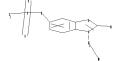
FULL SCREEN SEARCH COMPLETED - 4854 TO ITERATE

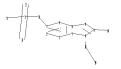
100.0% PROCESSED 4854 ITERATIONS 1329 ANSWERS

SEARCH TIME: 00.00.01

1329 SEA SSS FUL L1 L3

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chain nodes :
10 11 12 13 15 16 18 19
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
3-10 5-16 6-18 10-11 11-12 11-13 11-15 18-19
ring bonds :
1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 5-6 \quad 5-9 \quad 6-7 \quad 7-8 \quad 8-9
exact/norm bonds :
3-10 5-6 5-9 5-16 6-7 8-9 10-11 11-12 11-13 11-15 18-19
exact bonds :
6 - 18
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :
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G1:Ph,Cy,Hy

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 18:CLASS 19:Atom

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR

G1 Ph, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 15:33:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 178 TO ITERATE

100.0% PROCESSED 178 ITERATIONS 34 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2760 TO 4360

PROJECTED ANSWERS: 331 TO 1029

L5 34 SEA SSS SAM L4

 \Rightarrow s 14 sss full

FULL SEARCH INITIATED 15:33:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3069 TO ITERATE

100.0% PROCESSED 3069 ITERATIONS 481 ANSWERS

SEARCH TIME: 00.00.01

L6 481 SEA SSS FUL L4

=> FIL HCAPLUS

10572826.trn 05/26/2009 Page 6

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 375.12 375.34

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 15:35:13 ON 26 MAY 2009
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HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L7 39 L3

=> s 16

L8 10 L6

=> s 17 and py<=2003 24035503 PY<=2003

L9 21 L7 AND PY<=2003

=> s 18 and py<=2003

24035503 PY<=2003

1 L8 AND PY<=2003

=> d l10 ibib abs hitstr tot

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224232 HCAPLUS

DOCUMENT NUMBER: 134:266307
TITLE: Preparation of

2-arylethyl-5-arylsulfonamidobenzimidazoles as

tryptase inhibitors.

10572826

GΙ

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans; Disse, Bernd; Hoenke, Christoph; Jennewein, Hans

Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.					KIND DATE		APPLICATION NO.					DATE					
	19945787																	
							CA 2000-2379557					20000921 <						
	2379557																	
WO	2001023360			A1		2001	0405		WO 2000-EP9237				2	0000	921	<		
	W:	ΑE,	ΑU,	ВG,	BR,	CA,	CN,	CZ,	EE,	HR,	HU,	ID,	IL,	IN,	JP,	KR,	LT,	
		LV,	MX,	NO,	NZ,	PL,	RO,	SG,	SI,	SK,	TR,	UA,	US,	UΖ,	VN,	YU,	ZA,	
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM								
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	
		PT,		•	,	·	,	•	·	•	ŕ	•	•	•	•	•		
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											.999-					9991		
										WO 2	000-	EP92.	37		W 2	0000	921	
OTHER SO	THER SOURCE(S):					PAT	134:	2663	07									

$$R^3 SO_{2N}$$

Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclyl, heterocyclylalkyl, etc.], were prepared Thus, N-[3-amino-4-(3,5-bistifluoromethylbenzylamino)phenyl]benzenesulfonamide (preparation given), p-cyanophenylpropionic acid, and POCl3 were heated together for 2 h at 100-120° to give 71.5% N-[2-[2-(4-cyanophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. This was stirred with HCl in EtOH at 0-5°

and the residue after distillation of EtOH was treated with NH3 in EtOH to give 70.3% N-[2-[2-(4-amidinophenyl)ethyl]-1-(3,5-

 $bistrifluoromethylbenzyl) benzimidazol-5-yl] benzenesul fonamide. \quad {\tt I}$

inhibited tryptase with IC50 = $0.0066-0.412 \mu M$.

IT 331766-41-7P 331766-46-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

RN 331766-41-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-

(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Et_2N-CH_2-CH_2-N \\ N-CH_2 \end{array}$$

RN 331766-46-2 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-

(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

=> d 19 ibib abs hitstr 1-10

L9 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:904287 HCAPLUS

DOCUMENT NUMBER: 137:380015

TITLE: Use of benzimidazole compounds for the treatment and

prevention of arterial thrombotic diseases

INVENTOR(S): Hauel, Norbert; Stassen, Jean Marie; Wienen, Wolfgang

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany

SOURCE: Ger. Offen., 4 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

I	PA]	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION 1	. O <i>V</i>		DZ	ATE	
- I	DE	1012	 5478			A1	_	2002	1128		DE 2	001-	1012	 5478		20	0010	 525 <
Ţ	US	2002	0193	404		A1		2002	1219		US 2	002-	13789	95		20	0020	502 <
V	WΟ	2002	0964	25		A1		2002	1205		WO 2	002-	EP55	22		20	0020	518 <
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
																TZ,		
			US,	UZ,	VN,	YU,	ZA,	ZW	•	•	·	·	•	·	•	•		·
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
																SN,		
Z	AU	2002	3134	73		A1	·	2002	1209		AU 2	002-	3134°	73	·	20	0020	518 <
PRIOR	ΙΤΊ	Z APP	LN.	INFO	. :						DE 2	001-	1012	5478		A 20	0010	525
											US 2	001-	3018	99P		P 20	0010	628
											WO 2	002-	EP55:	22	,	W 20	0020	518

AB The invention provides a method for the treatment and prevention of arterial thrombotic illnesses, comprising the administration of an effective quantity of one of 1-methyl-2-[(4-amidinophenyl)-oxymethyl]-5-[N (hydroxycarbonylmethyl)-quinolin-8-sulfonylamino]benzimidazole and 1-methyl-2-[N-(4-amidinophenyl)-aminomethyl]-5-[N-(hydroxycarbonyl methyl)-quinolin-8-sulfonylamino]benzimidazole, their physiol. acceptable salts or their mixts. Also provided is the use of these compds. for the production of appropriate drugs.

IT 256491-29-9 256491-44-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(benzimidazole compds. for treatment and prevention of arterial thrombotic diseases)

RN 256491-29-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-44-8 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

$$CH_2-CO_2H$$
 CH_2-NH
 CH_2-NH
 CH_2-NH
 CH_2-NH

L9 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:227325 HCAPLUS

DOCUMENT NUMBER: 136:395327

TITLE: Structure-based design of novel potent nonpeptide

thrombin inhibitors

AUTHOR(S): Hauel, Norbert H.; Nar, Herbert; Priepke, Henning;

Ries, Uwe; Stassen, Jean-Marie; Wienen, Wolfgang

CORPORATE SOURCE: Research Division, Boehringer Ingelheim Pharma KG,

Biberach/Riss, D-88397, Germany

SOURCE: Journal of Medicinal Chemistry (2002),

45(9), 1757-1766

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:395327

The clin. syndromes of thromboembolism are evoked by an excessive stimulation of the coaqulation cascade. In this context, the serine protease thrombin plays a key role. Considerable efforts have therefore been devoted to the discovery of safe, orally active inhibitors of this enzyme. On the basis of the X-ray crystal structure of the peptidelike thrombin inhibitor NAPAP complexed with bovine thrombin, we have designed a new structural class of nonpeptidic inhibitors employing a 1,2,5-trisubstituted benzimidazole as the central scaffold. Supported by a series of X-ray structure analyses, we optimized the activity of these compds. Thrombin inhibition in the lower nanomolar range could be achieved although the binding energy mainly results from nonpolar, hydrophobic interactions. To improve in vivo potency, we increased the overall hydrophilicity of the mols. by introducing carboxylate groups. The very polar compound BIBR 953 exhibited the most favorable activity profile in vivo. This zwitterionic mol. was converted into the double-prodrug BIBR 1048, which showed strong oral activity in different animal species. On the basis of these results, BIBR 1048 was chosen for clin. development.

IT 237750-48-0P 256491-29-9P 256491-32-4P 256491-44-8P 429658-81-1P 429658-83-3P 429658-84-4P 429658-85-5P 429658-86-6P 429658-87-7P 429658-88-8P 429658-89-9P

429658-90-2P 429658-91-3P 429658-92-4P

429658-93-5P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(structure-based design of novel potent nonpeptide thrombin inhibitors)

RN 237750-48-0 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-29-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-32-4 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-44-8 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & NH \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 429658-81-1 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ \parallel & C-NH_2 \\ \hline O & N \\ \hline \end{array}$$

RN 429658-83-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-ethyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ \parallel & C-NH_2 \\ \hline O & N \\ \hline \end{array}$$

RN 429658-84-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S-NH & CH_2 \\ O & N \\ \end{array}$$

RN 429658-85-5 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ Me-N & N \\ Me \end{array}$$

RN 429658-86-6 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(3-pyridinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 429658-87-7 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

RN 429658-88-8 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{NH} \\ \text{O} & \text{NH} \\ \text{S-NH} & \text{CH}_2 \\ \end{array}$$

RN 429658-89-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(1-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & NH \\ \hline O & & S - NH \\ \hline & & N \\ \hline & & Me \\ \end{array}$$

RN 429658-90-2 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(2-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 429658-91-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(5-isoquinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

RN 429658-92-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & NH & NH \\ \hline N & CH_2 & C-NH_2 \\ \hline O & Me \end{array}$$

RN 429658-93-5 HCAPLUS

CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]- (CA INDEX NAME)

IT 237750-85-5

RL: RCT (Reactant); RACT (Reactant or reagent) (structure-based design of novel potent nonpeptide thrombin inhibitors)

RN 237750-85-5 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

IT 236417-29-1P 237750-76-4P 237750-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structure-based design of novel potent nonpeptide thrombin inhibitors)

RN 236417-29-1 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \parallel & & \\ Ph-S-NH & & \\ \parallel & & \\ O & & N \end{array}$$

RN 237750-76-4 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10572826

$$\begin{array}{c|c} O & & & & \\ Ph-S-NH & & & \\ O & & & N \\ \end{array}$$

RN 237750-78-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

IT 236414-72-5P 237750-79-7P 237750-99-1P 256493-32-0P 850465-48-4P 850465-74-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(structure-based design of novel potent nonpeptide thrombin inhibitors)

RN 236414-72-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 237750-79-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

RN 237750-99-1 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-32-0 HCAPLUS

CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 850465-48-4 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 850465-74-6 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224233 HCAPLUS

DOCUMENT NUMBER: 134:252337
TITLE: Preparation of

 $exttt{N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamid}$

es and analogs as tryptase inhibitors

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;

Disse, Bernd; Hoenke, Christoph; Jennewein, Hans

Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945810	A1	20010329	DE 1999-19945810	19990924 <
CA 2382892	A1	20010405	CA 2000-2382892	20000921 <

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20010405
                                           WO 2000-EP9236
     WO 2001023359
                         Α1
                                                                   20000921 <--
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             LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
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                          В1
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                                            US 2000-666769
                                                                    20000921 <--
     EP 1220845
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                                20020710
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     JP 2003510309
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                                            AT 2000-969275
     AT 247092
                          Τ
                                20030815
                                                                    20000921 <--
    MX 2002002623
                                20021023
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                                                                    20020311 <--
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PRIORITY APPLN. INFO.:
                                            DE 1999-19945810
                                                                A 19990924
                                            US 1999-157389P
                                                                P 19991001
                                            WO 2000-EP9236
                                                                W 20000921
OTHER SOURCE(S):
                        MARPAT 134:252337
GT
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AΒ
     Title compds. (I; R5 = CH2CH2C6H4R2-4)[II; R = NR4SO2R3; R1 =
     (cyclo)alkyl, (un)substituted phenylalkyl, etc.; R2 = C(:NH)NH2 or CH2NH2;
     R3 = (un)substituted Ph, -naphthyl, -(benzo)thienyl, etc.; R4 = H,
     aminoalkyl, ureidoalkyl, etc.] were prepared Thus, 2-fluoro-5-nitroaniline
     was aminated and the product cyclocondensed with 4-(NC)C6H4CH2CH2CO2H to
     give, after reduction, II (R1 = Me)(III; R = NH2, R2 = cyano) which was
     amidated and the product converted in 4 steps to III [R =
     4-(MeO2C)C6H4SO2N(CH2CH2NEt2), R2 = C(:NH)NH2]. Data for biol. activity
     of I were given.
ΙT
     331449-43-5P 331449-44-6P 331449-45-7P
     331449-46-8P 331449-47-9P 331449-48-0P
     331449-49-1P 331449-50-4P 331449-51-5P
     331449-52-6P 331449-53-7P 331449-54-8P
     331449-55-9P 331449-57-1P 331449-58-2P
     331449-59-3P 331449-60-6P 331449-61-7P
     331449-62-8P 331449-63-9P 331449-64-0P
     331449-65-1P 331449-66-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and
        analogs as tryptase inhibitors)
RN
     331449-43-5 HCAPLUS
     Benzoic acid, 4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-
CN
```

benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, ethyl ester,

hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 331449-44-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 331449-45-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[(3-bromophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 331449-46-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl]](4-nitrophenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 331449-47-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][(3-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 331449-48-0 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl]][(3-methylphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

RN 331449-49-1 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[(3-chlorophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 331449-50-4 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[(4-aminophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:4) (CA INDEX NAME)

● 4 HCl

RN 331449-51-5 HCAPLUS

CN Acetamide, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 331449-52-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[(4-chlorophenyl)sulfonyl]][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331449-53-7 HCAPLUS

CN Glycine, N-[4-[[[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]benzoyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

PAGE 1-B

— NH2

RN 331449-54-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl]](4-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:3) (CA INDEX NAME)

●3 HC1

RN 331449-55-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]methylamino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 331449-57-1 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 331449-56-0 CMF C30 H36 N6 O4 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 331449-58-2 HCAPLUS

CN Glycine, N-[4-[[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]benzoyl]- (CA INDEX NAME)

PAGE 1-B

— ин2

RN 331449-59-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

RN 331449-60-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[(3-bromophenyl)sulfonyl][2-(diethylamino)ethyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy-(CA INDEX NAME)

RN 331449-61-7 HCAPLUS

CN Benzamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)

RN 331449-62-8 HCAPLUS

CN 3-Pyridinecarboxamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)

RN 331449-63-9 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 331449-64-0 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 331449-65-1 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl][[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]-, propyl ester (9CI) (CA INDEX NAME)

RN 331449-66-2 HCAPLUS

CN Carbamic acid, [[4-[2-[5-[[2-(diethylamino)ethyl]][[5-(dimethylamino)-1-

naphthalenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2yl]ethyl]phenyl]iminomethyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

IT 331449-72-0 331449-73-1

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-72-0 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl]][(4-methoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

RN 331449-73-1 HCAPLUS

CN Benzenesulfonamide, 3-bromo-N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)

IT 331449-67-3P 331449-68-4P 331449-69-5P

331449-70-8P 331449-71-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

10572826

(Reactant or reagent)

(preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-67-3 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]- (CA INDEX NAME)

RN 331449-68-4 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)

RN 331449-69-5 HCAPLUS

CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl][2-(diethylamino)ethyl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & \text{Et}_2N-CH_2-CH_2 \\ \hline \text{EtO-C} & O & \\ \hline & S-N & CH_2-CH_2 \\ \hline & O & \\ \hline & Me \end{array}$$

RN 331449-70-8 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-5-(dimethylamino)- (CA INDEX NAME)

RN 331449-71-9 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]-5-(dimethylamino)- (CA INDEX NAME)

L9 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224232 HCAPLUS

DOCUMENT NUMBER: 134:266307
TITLE: Preparation of

2-arylethyl-5-arylsulfonamidobenzimidazoles as

tryptase inhibitors.

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;

Disse, Bernd; Hoenke, Christoph; Jennewein, Hans

Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945787	A1	20010329	DE 1999-19945787	19990924 <
CA 2379557	A1	20010405	CA 2000-2379557	20000921 <
CA 2379557	С	20080916		
WO 2001023360	A1	20010405	WO 2000-EP9237	20000921 <
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PRIORITY APPLN. INFO.:
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                                             WO 2000-EP9237
OTHER SOURCE(S):
                         MARPAT 134:266307
GΙ
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$$\mathbb{R}^{3} \mathbb{SO}_{2_{\mathbb{R}^{4}}^{\mathbb{N}}}$$

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AΒ
     Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl,
     cycloalkylalkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 =
     C(:NH)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl,
     benzothienyl; R4 = H, (substituted) alkyl, heterocyclyl,
     heterocyclylalkyl, etc.], were prepared Thus,
     N-[3-amino-4-(3,5-bistifluoromethylbenzylamino)phenyl]benzenesulfonamide
     (preparation given), p-cyanophenylpropionic acid, and POC13 were heated
     together for 2 h at 100-120° to give 71.5%
     N-[2-[2-(4-cyanophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-
     5-y1]benzenesulfonamide. This was stirred with HCl in EtOH at 0-5^{\circ}
     and the residue after distillation of EtOH was treated with NH3 in EtOH to give
     70.3% N-[2-[2-(4-amidinophenyl)ethyl]-1-(3,5-
     bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I
     inhibited tryptase with IC50 = 0.0066-0.412 \mu M.
    1099086-44-8 1099086-51-7
ΙT
     RL: PRPH (Prophetic)
        (Preparation of 2-arylethyl-5-arylsulfonamidobenzimidazoles as tryptase
        inhibitors.)
     1099086-44-8 HCAPLUS
RN
CN
     INDEX NAME NOT YET ASSIGNED
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RN 1099086-51-7 HCAPLUS

CN Benzoic acid, 4-[[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-(CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N - CH_2-CH_2 \end{array}$$

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331766-13-3P 331766-14-4P 331766-15-5P
ΙT
     331766-16-6P 331766-17-7P 331766-18-8P
     331766-19-9P 331766-20-2P 331766-21-3P
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     331766-31-5P 331766-32-6P 331766-33-7P
     331766-34-8P 331766-35-9P 331766-36-0P
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     331766-40-6P 331766-41-7P 331766-42-8P
     331766-43-9P 331766-44-0P 331766-45-1P
     331766-46-2P 331766-47-3P 331766-48-4P
     331766-49-5P 331766-50-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of arylethylarylsulfonamidobenzimidazoles as tryptase
        inhibitors)
```

RN 331766-13-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:1)
(CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \parallel \\ \text{C-NH}_2 \end{array}$$
 R— CH₂- CH₂

● HCl

RN 331766-14-4 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2-CH_2-NH_2 \\ \hline \\ Et_2N-CH_2-CH_2-N & N \\ \hline \\ Me \end{array}$$

●2 HC1

RN 331766-15-5 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-ethoxypropyl)-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 331766-16-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[[4-(dimethylamino)phenyl]methyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 331766-17-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[4-(aminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 331766-18-8 HCAPLUS

CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methy1-5-[[2-(4-morpholiny1)ethy1](phenylsulfony1)amino]-1H-benzimidazol-2-y1]ethy1]- (CA INDEX NAME)

RN 331766-19-9 HCAPLUS

CN Benzamide, N-[[4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]phenyl]iminomethyl]- (CA INDEX NAME)

RN 331766-20-2 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \parallel \\ \text{C-NH}_2 \end{array}$$
 R— CH₂-CH₂

RN 331766-21-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2-CH_2-NH_2 \end{array}$$
 Et $_2N-CH_2-CH_2-NH_2$

RN 331766-22-4 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-ethoxypropyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2-CH_2-N \\ \hline \\ Et_2N-CH_2-CH_2-N & CH_2-CH_2 \\ \hline \\ (CH_2)_3-OEt \end{array}$$

RN 331766-23-5 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[[4-(dimethylamino)phenyl]methyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-24-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-[4-(aminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S = O \\ Et_2N-CH_2-CH_2-N \\ \hline N \\ Me \end{array}$$

RN 331766-25-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[3-(diethylamino)propyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2 - CH_2 \end{array}$$
 Et₂N- (CH₂)₃-N N CH₂-CH₂

RN 331766-26-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[(1-methyl-3-pyrrolidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-(CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me \\ N \end{array} \begin{array}{c} CH_2 - CH_2 \end{array} \begin{array}{c} NH \\ \parallel \\ C-NH_2 \end{array}$$

RN 331766-27-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[4-(dipropylamino)cyclohexyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-28-0 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[(1-methyl-2-pyrrolidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-(CA INDEX NAME)

$$\begin{array}{c|c} Me & O & NH \\ & Ph-S=O & CH_2-CH_2 \\ \hline & N & Me \\ \end{array}$$

RN 331766-29-1 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[[1-(phenylmethyl)-2-pyrrolidinyl]methyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-(CA INDEX NAME)

RN 331766-30-4 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)-4-piperidinylamino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ Ph-S=O \\ \hline N \\ N \\ \hline N \\ Me \\ \end{array}$$

RN 331766-31-5 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(1-methyl-4-piperidinyl)(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ Ph-S = O \\ \hline N & \\ Me & \\ Me & \\ NH \end{array}$$

RN 331766-32-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[[1-(phenylmethyl)-4-piperidinyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ | \\ Ph-S=O \\ \hline N \\ N \\ \hline N \\ Me \end{array}$$

RN 331766-33-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)(3-pyrrolidinylmethyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-34-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-hydroxyethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2-CH_2-NH_2 \\ \hline \\ C-NH_2 & CH_2-CH_2-OH \\ \end{array}$$

RN 331766-35-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-methoxyethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2-CH_2-NH_2 \\ \hline \\ CH_2-CH_2-OMe \end{array}$$

RN 331766-36-0 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & & & \\ Ph-S & & & & & & & & \\ Et_2N-CH_2-CH_2-N & & & & & & \\ & & & & & & \\ Et_2N-CH_2-CH_2-N & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 331766-37-1 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-hydroxypropyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
 & O & NH \\
 & Ph-S = O & CH_2-CH_2-NH_2
\end{array}$$

$$Et_2N-CH_2-CH_2-NH_2$$

$$CH_2-CH_2-CH_2-NH_2$$

$$(CH_2)_3-OH$$

RN 331766-38-2 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-phenylethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S} \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-N} \\ \text{CH}_2\text{-CH}_2\text{-Ph} \end{array}$$

RN 331766-39-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-phenylpropyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2-CH_2-N \\ \hline \\ Et_2N-CH_2-CH_2-N \\ \hline \\ N & CH_2-CH_2 \\ \hline \\ (CH_2)_3-Ph \end{array}$$

RN 331766-40-6 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(2-methoxyphenoxy)ethyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{C-NH}_2 \\ \text{Ph-S=O} \\ \text{Et}_2 \text{N-CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{N-CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{O} \\ \text{MeO} \end{array}$$

RN 331766-41-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Et_2N-CH_2-CH_2-N \\ N-CH_2 \end{array}$$

RN 331766-42-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](1-naphthalenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et}_2\text{N}-\text{CH}_2-\text{CH}_2\\ \hline & \text{N}\\ & \text{N}\\ & \text{N}\\ & \text{C}\\ & \text{N}\\ & \text{C}\\ & \text{N}\\ & \text{C}\\ & \text{N}\\ & \text{N}\\ & \text{C}\\ & \text{N}\\ & \text{N}\\ & \text{N}\\ & \text{C}\\ & \text{N}\\ & \text{N}\\ & \text{C}\\ & \text{N}\\ & \text{N}$$

RN 331766-43-9 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(hexahydro-1H-azepin-1-yl)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

RN 331766-44-0 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)[2-(1-piperidinyl)ethyl]amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S = O \\ N-CH_2-CH_2-N-V-CH_2-CH_2 \\ \hline \\ Me \end{array}$$

RN 331766-45-1 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-methyl-5-[(phenylsulfonyl)]] 2-(1-pyrrolidinyl)ethyl]amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 331766-46-2 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ \hline Ph-S=O & C-NH-OH \\ \hline Et_2N-CH_2-CH_2-N & C-NH-OH \\ \hline \end{array}$$

RN 331766-47-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-hydroxyethyl)-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ \hline Ph-S=O & C-NH-OH \\ \hline Et_2N-CH_2-CH_2-N & CH_2-CH_2 \\ \hline \\ CH_2-CH_2-OH \\ \hline \end{array}$$

RN 331766-48-4 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(2-methoxyethyl)-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2-CH_2-NH-OH \\ \hline \\ CH_2-CH_2-OMe \end{array}$$

RN 331766-49-5 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-(3-hydroxypropyl)-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2-CH_2-NH-OH \\ \hline \\ CCH_2-CH_2-CH_2-NH-OH \\ \hline \\ (CH_2)_3-OH \end{array}$$

RN 331766-50-8 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(2-methoxyphenoxy)ethyl]-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S = O \\ Et_2N-CH_2-CH_2-N \\ \hline N-CH_2-CH_2 \\ \hline C-NH-OH \\ CH_2-O \\ \hline NH \\ \end{array}$$

IT 256493-19-3P 331766-54-2P 331766-55-3P 331766-59-7P 331766-60-0P 331766-62-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

RN 256493-19-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-

5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ Ph-S-NH & \\ \parallel & \\ O & \\ \hline \\ N & \\ Me \end{array}$$

RN 331766-54-2 HCAPLUS

CN Benzenesulfonamide, N-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[2-(4-cyanophenyl)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 331766-55-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ \text{Ph-S} = O \\ \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-N} \\ N \\ \text{Me} \end{array}$$

RN 331766-59-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-(3-ethoxypropyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 331766-60-0 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-(3-ethoxypropyl)-1H-benzimidazol-5-yl]-N-[2-(diethylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S = O \\ \hline Et_2N-CH_2-CH_2-N \\ \hline N \\ CH_2-CH_2 \\ \hline \end{array} \begin{array}{c} CN \\ CH_2-CH_2 \\ \hline \end{array}$$

RN 331766-62-2 HCAPLUS

CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{Ph-S-NH} \\ \text{O} \\ \text{O} \\ \\ \text{N} \\ \\ \text{Me} \\ \end{array}$$

L9 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:83221 HCAPLUS

DOCUMENT NUMBER: 132:137386

TITLE: Preparation of heterocyclylalkylbenzamidines and

analogs as thrombin inhibitors

INVENTOR(S): Hauel, Norbert; Ries, Uwe; Priepke, Henning; Mihm,

Gerhard; Wienen, Wolfgang; Stassen, Jean Marie;

Binder, Klaus; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 58 pp.

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US	US 6121308				A	A 20000919			US 1999-359487						19990722 <			
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WO	WO 2000008014							WO 1999-EP5371										
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		DE,	DK,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	
		JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	
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		ES,	FI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	
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										WO 1	999-	EP53	71		W 1	9990.	727	
OTHER SOURCE(S):					MAR.	PAT	132:	1373	86									

OTHER SOURCE(S): MARPAT 132:137386

AB RaZ2Z1ZR [I; R = cyano or C(:NH)NHRb; Ra = (alkyl)amino, phenylalkoxy, NR4COR3, etc.; Rb = H, OH, alkyl, metabolically labile group; Z = (un)substituted (hetero)arylene; Z1 = (alkyl-substituted) CH2CH2, -OCH2, -CH2O, -NHCH2, etc.; Z2 = indole-, benzimidazole-, benzoxazole-n,2-diyl, quinolinediyl, etc.; n = 4-7] were prepared Thus, 2-methylamino-5-nitroaniline was cyclocondensed with HO2CCH2CH2C6H4(CN)-4 and the reduced product N-substituted by, successively, MeSO2Cl and BrCH2CO2Et to give, after aminolysis and saponification, title compound II. Data

for biol. activity of I were given.

IT 256491-63-1P 256491-69-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

RN 256491-63-1 HCAPLUS

CN Glycine, N-[2-[[[[4-(aminoiminomethyl)phenyl]methyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256491-69-7 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & \parallel & \parallel \\ EtO-C-CH_2-N & N & CH_2-NH \end{array}$$

ΙT 256491-15-3P 256491-16-4P 256491-18-6P 256491-20-0P 256491-25-5P 256491-26-6P 256491-27-7P 256491-29-9P 256491-31-3P 256491-32-4P 256491-34-6P 256491-35-7P 256491-36-8P 256491-37-9P 256491-38-0P 256491-39-1P 256491-40-4P 256491-41-5P 256491-42-6P 256491-43-7P 256491-44-8P 256491-45-9P 256491-46-0P 256491-48-2P 256491-49-3P 256491-50-6P 256491-51-7P 256491-52-8P 256491-53-9P 256491-54-0P 256491-55-1P 256491-56-2P 256491-57-3P 256491-58-4P 256491-59-5P 256491-64-2P 256491-67-5P 256491-68-6P 256491-70-0P 256491-81-3P 256491-82-4P 256491-83-5P 256492-12-3P 256492-13-4P 256492-14-5P 256492-41-8P 256492-42-9P 256492-43-0P 256492-44-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

10572826

(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

RN 256491-15-3 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & \\ EtO-C-CH_2-N & \\ N & \\ Me \end{array}$$

RN 256491-16-4 HCAPLUS

CN Glycine, N-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ HO_2C-CH_2-N & N & CH_2-CH_2 \end{array}$$

RN 256491-18-6 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & \\ & & & & & & \\ \text{Ph} - & & & & & & \\ & & & & & & \\ \text{Me}_2\text{N} - & \text{CH}_2 - & \text{CH}_2 - & \text{N} \\ & & & & & \\ & & & & & \\ \text{CH}_2 - & \text{C} - & \text{OEt} \end{array}$$

RN 256491-20-0 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]- (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ \hline \\ N \\ CH_2-CO_2H \end{array}$$

RN 256491-25-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256491-26-6 HCAPLUS

CN Glycine, N-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256491-27-7 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256491-29-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-31-3 HCAPLUS

CN Glycine, N-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-32-4 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

$$CH_2-CO_2H$$
 CH_2-CH_2
 CH_2-CH_2
 CH_2-CH_2
 CH_2-CH_2

RN 256491-34-6 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256491-35-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-36-8 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256491-37-9 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

RN 256491-38-0 HCAPLUS

CN Glycine, N-[2-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)

RN 256491-39-1 HCAPLUS

CN Glycine, N-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl- (CA INDEX NAME)

RN 256491-40-4 HCAPLUS

CN Glycine, N-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl- (CA INDEX NAME)

RN 256491-41-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)

RN 256491-42-6 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256491-43-7 HCAPLUS

CN Glycine, N-[2-[4-(aminoiminomethyl)phenyl]ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256491-44-8 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & NH \\ \hline N & & & & CH_2-CO_2H \\ \hline O & & & & N \\ \hline O & & & & N \\ \hline \end{array}$$

RN 256491-45-9 HCAPLUS

CN Glycine, N-[2-[4-[(ethoxycarbonyl)amino]iminomethyl]phenyl]=1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256491-46-0 HCAPLUS

CN Glycine, N-[2-[1-[4-(aminoiminomethyl)phenoxy]-1-methylethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256491-48-2 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256491-49-3 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 256491-50-6 HCAPLUS

CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256491-51-7 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 256491-52-8 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]- (CA INDEX NAME)

RN 256491-53-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenoxy]methyl]-5-[(8-quinolinylsulfonyl)amino]- (CA INDEX NAME)

RN 256491-54-0 HCAPLUS

CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methylester (CA INDEX NAME)

RN 256491-55-1 HCAPLUS

CN Benzenecarboximidamide, 3-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)

RN 256491-56-2 HCAPLUS

CN Benzenecarboximidamide, 3-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methoxy]- (CA INDEX NAME)

$$O = S - NH$$
 $O = NH$
 $O = NH$

RN 256491-57-3 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]methylamino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256491-58-4 HCAPLUS

CN Glycine, N-[2-[[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256491-59-5 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256491-64-2 HCAPLUS

CN Glycine, N-[2-[[[[4-(aminoiminomethyl)phenyl]methyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 256491-67-5 HCAPLUS

CN Glycine, N-[2-[5-(aminoiminomethyl)-2-thienyl]=1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256491-68-6 HCAPLUS

CN Glycine, N-[2-[2-[5-(aminoiminomethyl)-2-thienyl]ethyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

$$CH_2-CO_2H$$
 CH_2-CH_2
 CH_2-CH_2
 CH_2-CH_2
 CH_2
 CH_2
 CH_2
 CH_2

256491-70-0 HCAPLUS RN

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(phenylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & \\ Ph-S & & & & & & \\ HO_2C-CH_2-N & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 256491-81-3 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1Hbenzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

RN 256491-82-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl- (CA INDEX NAME)

RN 256491-83-5 HCAPLUS

CN β -Alanine, N-[2-[[4-(aminoiminomethyl)phenoxy]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256492-12-3 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256492-13-4 HCAPLUS

CN Glycine, N-[2-[[[4-(aminoiminomethyl)phenyl]thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & NH \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 256492-14-5 HCAPLUS

CN Benzenecarboximidamide, 4-[[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]thio]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & NH \\ \hline & & & \\ O & & & \\ \hline & & & \\ O & & & \\ \hline & & & \\ O & & & \\ \hline & & & \\ & & & \\ \end{array}$$

RN 256492-41-8 HCAPLUS

CN Glycine, N-[2-[[[4-[[[(hexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]me thyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256492-42-9 HCAPLUS

CN Glycine, N-[2-[[[4-[imino[[(octyloxy)carbonyl]amino]methyl]phenyl]amino]me thyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256492-43-0 HCAPLUS

RN 256492-44-1 HCAPLUS

CN Benzenecarboximidamide, 3-methoxy-4-[[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]amino]- (CA INDEX NAME)

TT 256493-19-3 256493-23-9 256493-24-0 256493-26-2 256493-27-3 256493-28-4 256493-29-5 256493-30-8 256493-31-9 256493-32-0 256493-33-1 256493-35-3 256493-36-4 256493-37-5 256493-38-6 256493-39-7 256493-40-0 256493-42-2 256493-44-4 256493-45-5 256493-54-6 256493-55-7 256493-68-2 256493-69-3 256493-80-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

RN 256493-19-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S-NH \\ O \\ \end{array}$$

$$\begin{array}{c|c} CN \\ CH_2-CH_2 \\ \end{array}$$

$$\begin{array}{c|c} CN \\ \end{array}$$

$$\begin{array}{c|c} Me \\ \end{array}$$

RN 256493-23-9 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-24-0 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-26-2 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-ethyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-27-3 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-28-4 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-29-5 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)

RN 256493-30-8 HCAPLUS

CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256493-31-9 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256493-32-0 HCAPLUS

CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-33-1 HCAPLUS

CN Glycine, N-[2-[1-(4-cyanophenoxy)-1-methylethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-35-3 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256493-36-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 256493-37-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenoxy)methyl]-5-[(8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 256493-38-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ Ph-S-NH & \\ O & \\ \end{array}$$

RN 256493-39-7 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 256493-40-0 HCAPLUS

CN Glycine, N-[2-[[(4-cyanophenyl)methylamino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 256493-42-2 HCAPLUS

CN Glycine, N-[2-[[[(4-cyanophenyl)methyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 256493-44-4 HCAPLUS

CN Glycine, N-[2-[2-(5-cyano-2-thienyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-45-5 HCAPLUS

CN Glycine, N-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-54-6 HCAPLUS

Glycine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-methyl-5-yl)-N-(8-mCN quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \parallel \\ E + O - C - C + 2 - N + - C - C + 2 \\ N & N & C + 2 - O \\ \hline & N & Me \\ \hline & N & O \end{array}$$

256493-55-7 HCAPLUS RN

 β -Alanine, N-[2-[(4-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-CN yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-68-2 HCAPLUS

CN Glycine, N-[2-[[(4-cyanophenyl)thio]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 256493-69-3 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[[(4-cyanophenyl)thio]methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 256493-80-8 HCAPLUS

CN Benzenesulfonamide, N-[2-[[(4-cyano-2-methoxyphenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

$$Ph-S=0$$
 $Me-N$
 N
 CH_2-NH
 OMe
 Me

IT 256492-50-9P 256492-55-4P 256492-56-5P

256492-59-8P 256492-60-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

RN 256492-50-9 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c}
O & Ph-S = O \\
\parallel & & \\
EtO-C-CH_2-N & N & CH_2-CH_2
\end{array}$$
Me

RN 256492-55-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 256492-56-5 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 256492-59-8 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

$$CH_2-CO_2H$$
 CH_2-CH_2
 CH_2
 CH_2
 CH_2
 CH_2
 CH_2
 CH_2
 CH_2
 CH_2

RN 256492-60-1 HCAPLUS

CN Glycine, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

L9 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:511140 HCAPLUS

DOCUMENT NUMBER: 131:157771

TITLE: Preparation of five-membered, benzo-condensed

heterocycles as antithrombotics

INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke,

Henning; Binder, Klaus; Stassen, Jean Marie; Wienen,

Wolfgang; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany

SOURCE: PCT Int. Appl., 250 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE			APPLICATION NO.					DATE				
WO	O 9940072				A1 19990812			WO 1999-EP537					19990128 <					
	W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	
		ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	
		MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	
		TR,	TT,	UA,	UG,	UΖ,	VN,	YU,	ZW									
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	
		FΙ,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
							MR,							·	·	·		
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DE	DE 19834325				A1 20000217				DE 1998-19834325					19980730 <				
CA	CA 2319494				A1 19990812				CA 1999-2319494					19990128 <				
AU									AU 1999-27201					19990128 <				
									EP 1999-907437									
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$$R^1$$
 X A R^2

AB Title compds. [I; R = 5-C6H5SO2NH, 6-C6H5SO2NH, 5-C6H5NHSO2,

Ι

5-C6H5SO2N(CH2COOEt), 5-C6H5SO2N(CH3), 5-C6H5N(CH2CH2CH2COOEt)CO, 5-C6H5, CH3N(C6H5)CO, 8; R1 = H, 7-CH3, 3-Br, 3-EtO; R2 = C(:NH)NH2; A = CH2, NH; X = CH, MeN, EtOCOCH2CH2N, O, S, NCH2CO2H; Y = N, CH, CH:CH; Z = CH, N; dotted bond = single, double in relation to X; A is attached at 2, or 8 position depending on the heterocyclic ring] and their tautomers, stereoisomers, mixts. and their physiol. compatible salts with inorg. or organic acids or bases are prepared and title compds in which R2 is a cyano group, present valuable intermediate products for the production of the remaining compds. of the general formula I, with R2 is amidino, which have valuable pharmacol. properties, especially an antithrombotic activity. Thus, the title compound II was prepared

IT 236414-44-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of five-membered benzo-condensed heterocycles as antithrombotics)

RN 236414-44-1 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH & NH \\ | & C-NH_2 \\ \hline O & N & O \\ \hline CH_2-C-OEt \end{array}$$

● HCl

236414-28-1P 236414-34-9P 236414-40-7P 236414-42-9P 236414-45-2P 236414-46-3P 236414-47-4P 236414-51-0P 236414-52-1P 236414-54-3P 236414-55-4P 236414-56-5P 236414-57-6P 236414-69-0P 236414-70-3P 236414-71-4P 236414-72-5P 236414-75-8P 236414-80-5P 236414-81-6P 236414-82-7P 236414-84-9P 236414-85-0P 236414-87-2P 236414-89-4P 236414-91-8P 236414-92-9P 236414-96-3P 236414-97-4P 236414-98-5P 236415-05-7P 236415-07-9P 236415-08-0P 236415-09-1P 236415-10-4P 236415-11-5P 236415-12-6P 236415-14-8P 236415-15-9P 236415-16-0P 236415-18-2P 236415-19-3P 236415-20-6P 236415-21-7P 236415-22-8P 236415-23-9P 236415-24-0P 236415-25-1P 236415-26-2P 236415-28-4P 236415-29-5P 236415-30-8P 236415-31-9P 236415-32-0P 236415-34-2P 236415-35-3P 236415-36-4P

RN

CN

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236415-37-5P 236415-39-7P 236415-40-0P
236415-42-2P 236415-43-3P 236415-44-4P
236415-45-5P 236415-46-6P 236415-48-8P
236415-49-9P 236415-50-2P 236415-51-3P
236415-52-4P 236415-53-5P 236415-55-7P
236415-56-8P 236415-57-9P 236415-58-0P
236415-59-1P 236415-60-4P 236415-62-6P
236415-63-7P 236415-64-8P 236415-65-9P
236415-70-6P 236415-74-0P 236415-75-1P
236415-81-9P 236415-83-1P 236415-85-3P
236415-88-6P 236415-94-4P 236415-95-5P
236415-97-7P 236415-98-8P 236415-99-9P
236416-01-6P 236416-23-2P 236416-35-6P
236416-36-7P 236416-46-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
   (preparation of five-membered benzo-condensed heterocycles as
   antithrombotics)
236414-28-1 HCAPLUS
```

Benzenecarboximidamide, 4-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-

benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

O | NH | | C | NH2

● HCl

RN 236414-34-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & & NH \\ | \\ Ph-S-NH & CH_2 \\ \hline O & N \\ \hline \end{array}$$

RN 236414-40-7 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-ethyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & & NH \\ | \\ Ph-S-NH & CH_2 \\ \hline O & N \\ \end{array}$$

● HCl

RN 236414-42-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ NH & CH_2 \end{array}$$

● HCl

RN 236414-45-2 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ | \\ Ph-S-NH \\ O & N \\ \hline \\ CH_2-CO_2H \end{array}$$

RN 236414-46-3 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & \parallel & \parallel \\ EtO-C-CH_2-N & N \\ \hline & Me \end{array}$$

● HCl

RN 236414-47-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S & O & CH_2 \\ \hline \\ HO_2C-CH_2-N & N \\ \hline \\ Me \end{array}$$

● HCl

10572826

RN 236414-51-0 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(1-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-52-1 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(2-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-54-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 236414-55-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-56-5 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-57-6 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[(2,3,5,6-tetramethylphenyl)sulfonyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-69-0 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)ethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ N \\ CH_2 \\ CH_2 \\ CH_2 \\ CH_2 \\ CH_2 \\ Me \end{array}$$

●2 HC1

RN 236414-70-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)-2-oxoethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 236414-71-4 HCAPLUS

CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-72-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

RN 236414-75-8 HCAPLUS

CN Carbamic acid, [2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236414-80-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

● HCl

RN 236414-81-6 HCAPLUS

CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-82-7 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)ethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]carbonyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ N & & & \\ CH_2 & & & \\ CH_2 & & & \\ O & & & \\ \end{array}$$

●2 HC1

RN 236414-84-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236414-85-0 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} & NH \\ \parallel \\ C-NH_2 \\ \hline \\ N \\ S \\ O \\ N \\ \end{array}$$

•2 HCl

RN 236414-87-2 HCAPLUS

CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 236414-89-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S \longrightarrow O \\ \parallel & \parallel & \parallel \\ EtO-C-CH_2-N & N & CH_2 \\ \hline \end{array}$$

● HCl

RN 236414-91-8 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[methyl(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-92-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1H-

10572826

benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ HO_2C-CH_2-N & N \\ \hline & N \\ Pr-n \end{array}$$

HC1

RN 236414-96-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(3-pyridinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-97-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

RN 236414-98-5 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S} \\ \text{Ph-S} \\ \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-N} \\ \text{N} \\ \text{CH}_2\text{-C-OEt} \end{array}$$

●2 HC1

RN 236415-05-7 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(4-ethoxy-4-oxobutyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236415-07-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236415-08-0 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} \text{NH} & \text{NH} \\ \text{Ph-S-NH} & \text{CH}_2 \\ \text{O} & \text{NH}_2 \\ \end{array}$$

● HCl

RN 236415-09-1 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-[2-(4-morpholiny1)ethy1]-5[(phenylsulfony1)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2)
(CA INDEX NAME)

●2 HC1

RN 236415-10-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-[2-(dimethylamino)ethyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ | \\ Ph-S-NH \\ | \\ O & N \\ \\ CH_2-CH_2-NMe_2 \end{array}$$

●2 HC1

RN 236415-11-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

10572826

RN 236415-12-6 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & \parallel & \parallel \\ EtO-C-CH_2-N & N \\ \hline & N \\ CH_2-Ph \end{array}$$

● HCl

RN 236415-14-8 HCAPLUS

CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O & NH \\ EtO-C-CH_2-NH-CH_2-C & NH_2 & CH_2 \\ \hline & N & O & Me \\ \hline & N & O & Me \\ \end{array}$$

● HCl

RN 236415-15-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-[4-(dimethylamino)-1-piperidinyl]-2-oxoethyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-16-0 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \text{NH} & \text{NH} \\ O & \text{Ph-S} & O & & \text{C-NH}_2 \\ \hline \text{EtO-C-CH}_2 - \text{N} & & \text{N} & \text{CH}_2 - \text{CH}_2 - \text{N} \\ \end{array}$$

●2 HC1

RN 236415-18-2 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(2-amino-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride
(1:1) (CA INDEX NAME)

RN 236415-19-3 HCAPLUS

CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ H_2N-C-CH_2-N & N \\ & &$$

● HCl

RN 236415-20-6 HCAPLUS

CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

10572826

RN 236415-21-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-22-8 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & \parallel & \parallel \\ EtO-C-CH_2-N & N \\ \hline & N \\ CH_2-CH_2-NMe_2 \end{array}$$

●2 HC1

RN 236415-23-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S & O & CH_2 \\ \hline \\ HO_2C-CH_2-N & N \\ \hline \\ CH_2-Ph \end{array}$$

RN 236415-24-0 HCAPLUS

CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236415-25-1 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-26-2 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-methyl-1-piperazinyl)-2-oxoethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

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PAGE 2-A

●2 HC1

RN 236415-28-4 HCAPLUS

CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-N-[2-(dimethylamino)ethyl]-N-ethyl-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et O} & & \text{NH} \\ \parallel & \parallel & \\ \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{N}-\text{C}-\text{CH}_2 \\ & \text{N} & \text{CH}_2 \\ \hline & \text{N} & \text{CH}_2 \\ \hline & \text{N} & \text{O} \\ \end{array}$$

●2 HC1

RN 236415-29-5 HCAPLUS

CN L-Asparagine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 236415-30-8 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-[4-[2-(4-morpholinyl)-2-oxoethyl]-1-piperazinyl]-2-oxoethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

PAGE 1-A

●2 HC1

RN 236415-31-9 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-32-0 HCAPLUS

CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-34-2 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:3) (CA INDEX NAME)

RN 236415-35-3 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N \\ C-NH_2 \\ CH_2 \\ O \\ (CH_2)_3-C-OEt \end{array}$$

●2 HC1

RN 236415-36-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & NH_2 \\ Me_2N-CH_2-CH_2-N & N \\ \hline & N \\ CH_2-Ph \end{array}$$

●2 HC1

10572826

RN 236415-37-5 HCAPLUS

CN L-Asparagine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 236415-39-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236415-40-0 HCAPLUS

CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 236415-42-2 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, hydrochloride
(1:1) (CA INDEX NAME)

● HCl

RN 236415-43-3 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-44-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-45-5 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-46-6 HCAPLUS

CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, 2-methylpropyl ester (CA INDEX NAME)

RN 236415-48-8 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236415-49-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(5-isoquinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} N & NH & NH \\ N & C-NH_2 \\ \hline O & NH \\ \hline O & Me \\ \end{array}$$

● HCl

RN 236415-50-2 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

RN 236415-51-3 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-52-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \hline Ph-S=O \\ \hline N-(CH_2)_3-N-CH_2 \\ \hline \\ CH_2-Ph \\ \hline NH \\ \end{array}$$

RN 236415-53-5 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236415-55-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & NH & NH \\ \hline \\ O & S & N & CH_2 \\ \hline \\ O & Me \end{array}$$

● HCl

RN 236415-56-8 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & \\ & & & & & & \\ Ph-S & & & & & & \\ Me_2N-(CH_2)_3-N & & & & & \\ & & & & & \\ Me_2N-(CH_2)_3-C-OEt & & & \\ \end{array}$$

●2 HC1

RN 236415-57-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-58-0 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2)
(CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ Me_2N-(CH_2)_3-N & N \\ & (CH_2)_3-CO_2H \end{array}$$

RN 236415-59-1 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, hydrochloride (1:2)
(CA INDEX NAME)

●2 HC1

RN 236415-60-4 HCAPLUS

CN Benzoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ | \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N = CH_2 \\ N = CH_2 \\ \end{array}$$

236415-62-6 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N \\ CH_2-CH_2-C-OEt \end{array}$$

●2 HC1

RN 236415-63-7 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S & O & C-NH_2 \\ Me_2N-(CH_2)_3-N & N & CH_2 \\ \hline & N & CH_2-CH_2-C-OEt \\ \end{array}$$

RN 236415-64-8 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ Me_2N-CH_2-CH_2-N & CH_2 \\ \hline \\ CH_2-CH_2-CO_2H \end{array}$$

●2 HC1

236415-65-9 HCAPLUS RN

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ Me_2N-(CH_2)_3-N & CH_2 \\ \hline & N \\ CH_2-CH_2-CO_2H \end{array}$$

RN 236415-70-6 HCAPLUS

CN Glycine, N-[2-[[4-[imino[[(2-methylpropoxy)carbonyl]amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 236415-74-0 HCAPLUS

CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 236415-75-1 HCAPLUS

CN Glycine, N-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 236415-81-9 HCAPLUS

CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 236415-83-1 HCAPLUS

CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 236415-85-3 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

RN 236415-88-6 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-94-4 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-95-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236415-97-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236415-98-8 HCAPLUS

CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

RN 236415-99-9 HCAPLUS

CN Glycine, N-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

RN 236416-01-6 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236416-23-2 HCAPLUS CN Glycine, N-[2-[[4-[[[(1,1-

10572826

 $\label{lem:dimethylethoxy} $$ (arbonyl]$ amino]$ iminomethyl]$ phenyl]$ methyl]$ -1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)$ - (CA INDEX NAME)$$

RN 236416-35-6 HCAPLUS

CN β -Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236416-36-7 HCAPLUS

CN β -Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} \\ & \text{HO}_2\text{C}-\text{CH}_2-\text{CH}_2 \\ & \text{N} \\ & \text{S} \\ & \text{O} \end{array}$$

● HCl

RN 236416-46-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S & O & NH \\ Me_2N-CH_2-CH_2-N & N \\ Me \end{array}$$

●2 HC1

IT 236418-60-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of five-membered benzo-condensed heterocycles as
 antithrombotics)

RN 236418-60-3 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]- (CA INDEX NAME)

IT 236417-29-1P 236417-38-2P 236417-39-3P

236418-58-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of five-membered benzo-condensed heterocycles as antithrombotics)

RN 236417-29-1 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ Ph-S-NH & & & \\ O & & & N \end{array}$$

RN 236417-38-2 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 236417-39-3 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 236418-58-9 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:505930 HCAPLUS

DOCUMENT NUMBER: 131:157761

TITLE: 5-Membered heterocyclic condensed benzo derivatives,

their preparation, and their use as drugs

INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke,

Henning; Binder, Klaus; Stassen, Jean Marie; Wienen,

Wolfgang; Zimmermann, Rainer

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 94 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND DATE	E APPLICA	TION NO.	DATE
DE 19804085	A1 1999	00805 DE 1998	-19804085	19980203 <
CA 2319494	A1 1999	00812 CA 1999	-2319494	19990128 <
WO 9940072	A1 1999	00812 WO 1999	-EP537	19990128 <
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DK, EE, ES,	FI, GB, GD,	GE, GH, GM, HR	HU, ID, IL,	IN, IS, JP,
KE, KG, KP,	KR, KZ, LC,	LK, LR, LS, LT	, LU, LV, MD,	MG, MK, MN,
MW, MX, NO,	NZ, PL, PT,	RO, RU, SD, SE	, SG, SI, SK,	SL, TJ, TM,
TR, TT, UA,	UG, UZ, VN,	YU, ZW		
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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
                            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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          EP 1060166
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                                                                                               EP 1999-907437
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PRIORITY APPLN. INFO.:
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                                                                                                                                                19980730
                                                                                               WO 1999-EP537
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                                                                                                                                                 19990128
OTHER SOURCE(S):
                                                     MARPAT 131:157761
          Approx. 300 antithrombotic title compds. such as
AΒ
          4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-
          benzimidazol-2-ylmethyl]benzamidine hydrochloride (I),
          4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-(dimethylamino)ethyl]amino]-1-benzyl-1H-(dimethylamino)ethyl]amino]-1-benzyl-1H-(dimethylamino)ethyl]amino]-1-benzyl-1H-(dimethylamino)ethyl]amino]-1-benzyl-1H-(dimethylamino)ethyl]amino]-1-benzyl-1H-(dimethylamino)ethyl]amino]-1-benzyl-1H-(dimethylamino)ethyl]amino[-1-benzyl-1]-(dimethylamino)ethylamino[-1-benzyl-1]-(dimethylamino)ethylamino[-1-benzyl-1]-(dimethylamino)ethylamino[-1-benzyl-1]-(dimethylamino)ethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylamino[-1-benzyl-1]-(dimethylam
          benzimidazol-2-ylmethyl]benzamidine dihydrochloride,
           4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-
          benzimidazol-2-ylmethyl]benzamidine hydrochloride (II), and
           4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-
          benzothiazol-2-ylmethyl]benzamidine hydrochloride were prepared by standard
          methods. The ED200 in \mu M for I was 0.92 and for II was 0.82.
          Formulations for the antithrombotics were given.
ΤТ
          237750-48-0P 237750-49-1P 237750-50-4P
          237750-51-5P
          RL: BAC (Biological activity or effector, except adverse); BSU (Biological
          study, unclassified); SPN (Synthetic preparation); BIOL (Biological
          study); PREP (Preparation)
                 (preparation and antithrombotic activity of
                 benzimidazolylmethylbenzamidines)
RN
          237750-48-0 HCAPLUS
CN
          Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-
          benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)
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RN 237750-49-1 HCAPLUS

CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]- (CA INDEX NAME)

RN 237750-50-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2 \\ Me_2N-CH_2-CH_2-N & N \\ \hline & N \\ CH_2-Ph \end{array}$$

RN 237750-51-5 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-(9CI) (CA INDEX NAME)

IT 236414-82-7 236418-60-3 237750-76-4
 237750-78-6 237750-79-7 237750-80-0
 237750-82-2 237750-83-3 237750-85-5
 237750-86-6 237750-87-7 237750-88-8
 237750-96-8 237750-97-9 237750-98-0
 237751-07-4 237751-01-8 237751-09-6
 237751-10-9 237751-12-1 237751-13-2

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     237752-10-2 237752-11-3 237752-12-4
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     237752-17-9 237752-18-0 237752-19-1
     237752-20-4 237752-21-5 237752-22-6
     237752-23-7 237752-24-8 237752-25-9
     237752-26-0 237752-27-1 237752-28-2
     237752-29-3
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation and antithrombotic activity of
        benzimidazolylmethylbenzamidines)
RN
     236414-82-7 HCAPLUS
CN
     Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)ethyl](8-
     quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]carbonyl]-, hydrochloride
     (1:2) (CA INDEX NAME)
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RN 236418-60-3 HCAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5[(phenylsulfonyl)amino]- (CA INDEX NAME)

RN 237750-76-4 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237750-78-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-ethyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237750-79-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

RN 237750-80-0 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 237750-82-2 HCAPLUS

CN 1-Naphthalenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237750-83-3 HCAPLUS

CN 2-Naphthalenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237750-85-5 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

10572826

RN 237750-86-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

RN 237750-87-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-2,5-dimethoxy- (CA INDEX NAME)

RN 237750-88-8 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-2,3,5,6-tetramethyl- (CA INDEX NAME)

RN 237750-96-8 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)

RN 237750-97-9 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(4-morpholinyl)-2-oxoethyl]- (CA INDEX NAME)

RN 237750-98-0 HCAPLUS

CN Butanoic acid, 4-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 237750-99-1 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 237751-01-8 HCAPLUS

CN Benzoic acid, 3-[[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & S & NH \\ \hline & O & NH$$

RN 237751-06-3 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 237751-07-4 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[3-(dimethylamino)propyl]- (CA INDEX NAME)

RN 237751-08-5 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2\\ \text{N} & \text{N} & \text{CH}_2\\ \text{N} & \text{N} & \text{Me} \end{array}$$

RN 237751-09-6 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ \hline N \\ (CH_2)_3-C-OEt \end{array}$$

RN 237751-10-9 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ \hline \\ N \\ CH_2-Ph \end{array}$$

RN 237751-12-1 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 237751-13-2 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N \\ CH_2 = C-NH-CH_2-C-OEt \\ \end{array}$$

RN 237751-14-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[3-(dimethylamino)propyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S = O \\ Me_2N-(CH_2)_3-N \\ \hline N \\ CH_2-Ph \end{array}$$

RN 237751-15-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, 2-methylpropyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 237751-16-5 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 237751-17-6 HCAPLUS

CN 5-Isoquinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237751-18-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ N - & CH_2 - CH_2 - N \end{array} \begin{array}{c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ &$$

RN 237751-19-8 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-, ethyl ester (CA INDEX NAME)

RN 237751-20-1 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

$$Ph-S=O$$
 $N-(CH_2)_3-N-CH_2$
 CH_2-Ph
 CN

RN 237751-21-2 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 237751-22-3 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-(CH_2)_3-N \\ N \\ O \\ (CH_2)_3-C-OEt \end{array}$$

RN 237751-23-4 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 237751-24-5 HCAPLUS

CN Benzoic acid, 4-[[2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ \hline N \\ R \\ \hline \end{array}$$

RN 237751-25-6 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N \\ CH_2-CH_2-C-OEt \end{array}$$

RN 237751-26-7 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[(4-cyanophenyl)methyl]-5-[[3- (dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S = O \\ Me_2N-(CH_2)_3-N \\ \hline \\ N \\ CH_2-CH_2-C-OEt \end{array}$$

RN 237751-36-9 HCAPLUS

CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 237751-37-0 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 237751-41-6 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester (CA INDEX NAME)

RN 237751-43-8 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 237751-52-9 HCAPLUS

CN Glycine, N-[2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 237751-62-1 HCAPLUS

CN β -Alanine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 237751-64-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ \hline N \\ Me \end{array}$$

RN 237751-94-9 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c}
O & Ph-S = O \\
\parallel & & \\
EtO-C-CH_2-N & & \\
\hline
N & CH_2
\end{array}$$

$$\begin{array}{c|c}
CN \\
Pr-n
\end{array}$$

RN 237751-95-0 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

RN 237751-99-4 HCAPLUS

CN 3-Pyridinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237752-00-0 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & & \\ EtO-C-CH_2-N & & \\ \hline & N & \\ & CH_2-C-OEt \end{array}$$

RN 237752-01-1 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N \\ CH_2-C-OEt \end{array}$$

RN 237752-07-7 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(4-ethoxy-4-oxobutyl)(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & & \\ EtO-C-(CH_2)_3-N & & \\ \hline & N & CH_2 \\ \hline & CH_2-C-OEt \end{array}$$

RN 237752-09-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

Ph-S-NH
$$CH_2$$
 CH_2 CH_2

RN 237752-10-2 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ Ph-S-NH & & & \\ O & & & N \\ \hline & O & & & \\ \hline & CH_2-Ph & & \\ \end{array}$$

RN 237752-11-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 237752-12-4 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & & & \\ Ph-S-NH & & & & & \\ O & & & N & & \\ & & & CH_2-CH_2-NMe_2 \end{array}$$

RN 237752-13-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 237752-14-6 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S & O \\ \parallel & \parallel & \\ EtO-C-CH_2-N & N & CH_2 \\ \hline & N & CH_2-Ph \end{array}$$

RN 237752-16-8 HCAPLUS

CN Glycine, N-[2-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & & \\ E + O - C - C + 2 - NH - CH_2 - C \\ N & N & CH_2 \end{array}$$

RN 237752-17-9 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-[4-(dimethylamino)-1-piperidinyl]-2-oxoethyl]-(CA INDEX NAME)

RN 237752-18-0 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 237752-19-1 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 5-[(2-amino-2-oxoethyl)(phenylsulfonyl)amino]-2-[(4-cyanophenyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 237752-20-4 HCAPLUS

CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl](phenylsulfonyl)amino]- (CA INDEX NAME)

10572826

$$\begin{array}{c|c} O & Ph-S = O \\ H_2N-C-CH_2-N & N \\ \hline \\ CH_2-Ph \end{array}$$

RN 237752-21-5 HCAPLUS

CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]- (CA INDEX NAME)

RN 237752-22-6 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c}
O & Ph-S = O \\
EtO-C-CH_2-N & CH_2 \\
\hline
N & CH_2-CH_2-NMe_2
\end{array}$$

RN 237752-23-7 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (CA INDEX NAME)

10572826

RN 237752-24-8 HCAPLUS

CN Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-N-[2-(dimethylamino)ethyl]-N-ethyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et O} \\ | & | \\ | & | \\ | & | \\ \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{N}-\text{C}-\text{CH}_2 \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | & | \\ | &$$

RN 237752-25-9 HCAPLUS

CN Butanoic acid, 3-amino-4-[[[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]acetyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 237752-26-0 HCAPLUS

CN 8-Quinolinesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N-[2-[4-[2-(4-morpholinyl)-2-oxoethyl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)

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RN 237752-27-1 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 237752-28-2 HCAPLUS

Acetamide, 2-[[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1H-CN benzimidazol-5-yl](phenylsulfonyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c}
O & Ph-S = O \\
H_2N-C-CH_2-N & N & CH_2
\end{array}$$

$$\begin{array}{c|c}
CN & CH_2-CH_2-NMe_2
\end{array}$$

RN 237752-29-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-cyanophenyl)methyl]morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \text{Me}_{2}\text{N}-\text{CH}_{2}-\text{CH}_{2}-\text{N} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

236414-44-1P 236414-46-3P 236414-71-4P ΙT 236414-72-5P 236414-89-4P 236415-12-6P 236415-16-0P 236415-22-8P 236415-28-4P 236415-39-7P 236415-43-3P 236415-48-8P 236415-56-8P 236415-57-9P 236415-62-6P 236415-63-7P 236415-85-3P 236415-94-4P 236415-95-5P 236416-35-6P 236417-29-1P 236417-38-2P 236417-39-3P 236418-58-9P 237750-36-6P 237750-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)

236414-44-1 HCAPLUS RN

1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-CN [(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

RN 236414-46-3 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236414-71-4 HCAPLUS

CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-72-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236414-89-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \text{NH} \\ O & \text{Ph-S} \\ \hline \\ EtO-C-CH_2-N \\ \hline \\ N \\ \hline \\ N \\ \hline \\ C-NH_2 \\ \hline \\ C-NH_2 \\ \hline \\ \\ Pr-n \\ \end{array}$$

● HCl

RN 236415-12-6 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & \parallel & \parallel \\ EtO-C-CH_2-N & N \\ \hline & N \\ CH_2-Ph \end{array}$$

RN 236415-16-0 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-22-8 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S \longrightarrow O \\ \parallel & \parallel & \parallel \\ EtO-C-CH_2-N & N & CH_2 \\ \hline & N & CH_2-CH_2-NMe_2 \end{array}$$

●2 HC1

10572826

RN 236415-28-4 HCAPLUS

CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-N-[2-(dimethylamino)ethyl]-N-ethyl-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-39-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236415-43-3 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-48-8 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236415-56-8 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

$$Ph-S=O$$

$$Me_{2}N-(CH_{2})_{3}-N$$

$$NH$$

$$C-NH_{2}$$

$$CH_{2}$$

$$(CH_{2})_{3}-C-OEt$$

●2 HC1

RN 236415-57-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-62-6 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N \\ CH_2-CH_2-C-OEt \end{array}$$

●2 HC1

RN 236415-63-7 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ Me_2N-(CH_2)_3-N & N \\ N & CH_2 \\ \hline & N \\ CH_2-CH_2-C-OEt \end{array}$$

●2 HC1

RN 236415-85-3 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-94-4 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

10572826

RN 236415-95-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236416-35-6 HCAPLUS

CN β -Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 236417-29-1 HCAPLUS

CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & & & & \\ Ph-S-NH & & & \\ O & & & N \end{array}$$

RN 236417-38-2 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 236417-39-3 HCAPLUS

CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 236418-58-9 HCAPLUS

CN Glycine, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-N- (phenylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 237750-36-6 HCAPLUS

CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 237750-40-2 HCAPLUS

CN Butanoic acid, 3-amino-4-[[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]acetyl]amino]-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

TT 236414-28-1P 236414-34-9P 236414-40-7P 236414-42-9P 236414-45-2P 236414-47-4P 236414-51-0P 236414-52-1P 236414-54-3P 236414-55-4P 236414-56-5P 236414-57-6P 236414-69-0P 236414-70-3P 236414-80-5P 236414-81-6P 236414-84-9P 236414-85-0P 236414-87-2P 236414-91-8P 236414-92-9P 236415-05-7P 236415-07-9P 236415-08-0P 236415-09-1P 236415-10-4P 236415-11-5P 236415-14-8P 236415-15-9P 236415-17-7P

236415-23-9P 236415-24-0P 236415-25-1P 236415-30-8P 236415-31-9P 236415-32-0P 236415-34-2P 236415-35-3P 236415-36-4P 236415-40-0P 236415-42-2P 236415-44-4P 236415-45-5P 236415-46-6P 236415-49-9P 236415-50-2P 236415-51-3P 236415-52-4P 236415-53-5P 236415-55-7P 236415-58-0P 236415-59-1P 236415-60-4P 236415-64-8P 236415-65-9P 236415-70-6P 236415-74-0P 236415-75-1P 236415-81-9P 236415-83-1P 236415-88-6P 236415-97-7P 236415-98-8P 236415-99-9P 236416-01-6P 236416-23-2P 236416-36-7P 236416-46-9P 237750-39-9P 237750-41-3P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antithrombotic activity of benzimidazolylmethylbenzamidines) RN 236414-28-1 HCAPLUS CN Benzenecarboximidamide, 4-[[1-methyl-5-[(phenylsulfonyl)amino]-1Hbenzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{NH} \\ \text{NH} \\ \text{C-NH}_2 \\ \text{NH} \\ \text{O} \\ \text{Me} \end{array}$$

● HCl

RN 236414-34-9 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

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RN 236414-40-7 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-ethyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH & NH \\ \parallel & C-NH_2 \\ \hline O & N & Et \end{array}$$

● HCl

RN 236414-42-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[methyl(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-45-2 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} O & NH & NH \\ \parallel & C-NH_2 \\ \hline O & N & CH_2 \\ \hline \end{array}$$

RN 236414-47-4 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ HO_2C-CH_2-N & N \\ \hline \end{array}$$

● HCl

- RN 236414-51-0 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(1-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 236414-52-1 HCAPLUS
- CN Benzenecarboximidamide, 4-[[1-methyl-5-[(2-naphthalenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 236414-54-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-55-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{NH} \\ \hline \\ \text{C} \\ \text{NH} \\ \text{C} \\ \text{NH} \\ \text{C} \\ \text{NH} \\ \text{Me} \\ \end{array}$$

● HCl

10572826

RN 236414-56-5 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-57-6 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[(2,3,5,6-tetramethylphenyl)sulfonyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-69-0 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)ethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ N \\ CH_2 \\ CH_2 \\ CH_2 \\ N \\ CH_2 \\ \end{array}$$

●2 HC1

RN 236414-70-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-morpholinyl)-2-oxoethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-80-5 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, monohydrochloride (9CI) (CFINDEX NAME)

RN 236414-81-6 HCAPLUS

CN Butanoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-84-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N} - \text{(CH}_2\text{)}_3 \\ \text{N} \\ \text{N} \\ \text{S} \\ \text{O} \end{array}$$

●2 HC1

RN 236414-85-0 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

RN 236414-87-2 HCAPLUS

CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 236414-91-8 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[methyl(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ Me-N & N \\ \hline \\ Pr-n \end{array}$$

● HCl

RN 236414-92-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-propyl-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ HO_2C-CH_2-N & N \\ \hline \end{array}$$

● HCl

RN 236414-96-3 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[(3-pyridinylsulfonyl)amino]-1H-

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benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236414-97-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O & NH \\ & C-NH_2 \\ \hline \\ EtO-C-CH_2-N & O \\ & N & O \\ \hline \\ CH_2-C-OEt \end{array}$$

● HCl

RN 236414-98-5 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2 \\ Me_2N-CH_2-CH_2-N & N \\ \hline & N \\ CH_2-C-OEt \end{array}$$

●2 HC1

RN 236415-05-7 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(4-ethoxy-4-oxobutyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride
(1:1) (CA INDEX NAME)

● HCl

RN 236415-07-9 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236415-08-0 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ | \\ Ph-S-NH \\ O & N \\ \hline \\ CH_2-Ph \end{array}$$

● HCl

RN 236415-09-1 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-[2-(4-morpholiny1)ethy1]-5[(phenylsulfony1)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2)
(CA INDEX NAME)

●2 HC1

RN 236415-10-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-[2-(dimethylamino)ethyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH & NH \\ Ph-S-NH & CH_2 & CH_2 \\ \hline O & N & CH_2-CH_2-NMe_2 \end{array}$$

●2 HC1

RN 236415-11-5 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(2-ethoxy-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride
(1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ \parallel & \parallel & \parallel \\ EtO-C-CH_2-N & N & CH_2 \\ \hline & N & CH_2 \\ \hline & (CH_2)_3-C-OEt \end{array}$$

● HCl

RN 236415-14-8 HCAPLUS

CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O & NH \\ EtO-C-CH_2-NH-CH_2-C & NH_2 & CH_2 \\ \hline & N & N & CH_2 \\ \hline & N & N & NH \\ \hline & N & NH \\ \hline & N & NH \\ & N & NH \\ \hline & N & NH \\ & NH \\ & N & NH \\ &$$

RN 236415-15-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-[4-(dimethylamino)-1-piperidinyl]-2-oxoethyl](8-quinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-18-2 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(2-amino-2-oxoethyl)(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

RN 236415-19-3 HCAPLUS

CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O \\ H_2N-C-CH_2-N & N \\ \hline \\ CH_2-Ph & CH_2 \end{array}$$

● HCl

RN 236415-20-6 HCAPLUS

CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-21-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ NH & C-NH_2 \\ HO_2C-CH_2-N & CH_2-CH_2-N \\ \end{array}$$

●2 HC1

RN 236415-23-9 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ HO_2C-CH_2-N & CH_2-Ph \end{array}$$

● HCl

RN 236415-24-0 HCAPLUS

CN Glycine, N-[2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 236415-25-1 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]-N-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \\ HO_2C-CH_2-N & CH_2 \\ \hline & N \\ CH_2-CH_2-NMe_2 \end{array}$$

●2 HC1

RN 236415-30-8 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-[4-[2-(4-morpholinyl)-2-oxoethyl]-1-piperazinyl]-2-oxoethyl](8-quinolinylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

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●2 HC1

RN 236415-31-9 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-32-0 HCAPLUS

CN Acetamide, 2-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & Ph-S = O & NH \\ H_2N-C-CH_2-N & CH_2 & CH_2-NMe_2 \end{array}$$

●2 HC1

RN 236415-34-2 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:3) (CA INDEX NAME)

RN 236415-35-3 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-CH_2-CH_2-N \\ N \\ C-NH_2 \\ CH_2 \\ O \\ (CH_2)_3-C-OEt \end{array}$$

●2 HC1

RN 236415-36-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2 \\ Me_2N-CH_2-CH_2-N & CH_2 \\ \hline & N \\ CH_2-Ph \end{array}$$

●2 HC1

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RN 236415-40-0 HCAPLUS

CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 236415-42-2 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[2-(dimethylamino)ethyl](8-quinolinylsulfonyl)amino]-, hydrochloride
(1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & NH \\ \parallel \\ C-NH_2 \\ \hline \\ N \\ S = O \\ CH_2-CO_2H \\ O \\ \end{array}$$

● HCl

RN 236415-44-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-1-(phenylmethyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S & O & C-NH_2 \end{array}$$
 Me₂N- (CH₂)₃-N N CH₂-Ph

●2 HC1

RN 236415-45-5 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-46-6 HCAPLUS

CN Glycine, N-[2-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, 2-methylpropyl ester (CA INDEX NAME)

RN 236415-49-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[(5-isoquinolinylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} N \\ N \\ N \\ C \\ N \\ N \\ C \\ N \\ Me \end{array}$$

● HCl

RN 236415-50-2 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-51-3 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)[2-(1-pyrrolidinyl)ethyl]amino]-, ethyl ester,
hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-52-4 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} & O \\ & \\ & \\ N \end{array} \begin{array}{c} O \\ \\ S \end{array} \begin{array}{c} O \\ \\ O \end{array} \\ O \end{array}$$

●2 HC1

RN 236415-53-5 HCAPLUS

CN

1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 236415-55-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(5-isoquinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & NH & NH \\ \hline \\ O & S & N & CH_2 \\ \hline \\ O & Me \\ \end{array}$$

● HCl

RN 236415-58-0 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2)
(CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & C-NH_2 \end{array}$$
 Me₂N- (CH₂)₃-N N CH₂ (CH₂)₃-CO₂H

●2 HC1

RN 236415-59-1 HCAPLUS

CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5[(phenylsulfonyl)[3-(1-piperidinyl)propyl]amino]-, hydrochloride (1:2)
(CA INDEX NAME)

●2 HC1

RN 236415-60-4 HCAPLUS

CN Benzoic acid, 4-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]methyl]-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-S} \\ \text{Me}_{2}\text{N}-\text{CH}_{2}-\text{CH}_{2}-\text{N} \\ \text{Me}_{0}-\text{CH}_{2} \\ \text{Me}_{0}-\text{CH}_{2} \\ \text{O} \end{array}$$

●2 HC1

RN 236415-64-8 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 236415-65-9 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[3-(dimethylamino)propyl](phenylsulfonyl)amino]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Me_2N-(CH_2)_3-N \\ N \\ CH_2-CH_2-CO_2H \end{array}$$

●2 HC1

RN 236415-70-6 HCAPLUS

CN Glycine, N-[2-[[4-[imino[[(2-methylpropoxy)carbonyl]amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 236415-74-0 HCAPLUS

CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 236415-75-1 HCAPLUS

CN Glycine, N-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 236415-81-9 HCAPLUS

CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 236415-83-1 HCAPLUS

CN Glycine, N-[2-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 236415-88-6 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236415-97-7 HCAPLUS

CN Glycine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 236415-98-8 HCAPLUS

CN Glycine, N-[2-[[4-[[[(cyclohexyloxy)carbonyl]amino]iminomethyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

RN 236415-99-9 HCAPLUS

CN Glycine, N-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]meth yl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)glycyl-, ethyl ester (CA INDEX NAME)

RN 236416-01-6 HCAPLUS

CN Glycine, N-[[2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[[(1-methyl-2-piperidinyl)methyl](phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 236416-23-2 HCAPLUS

CN Glycine, N-[2-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)- (CA INDEX NAME)

RN 236416-36-7 HCAPLUS

CN β -Alanine, N-[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]-N-(8-quinolinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} & \text{NH} \\ \parallel & \text{C-NH}_2 \\ \hline & \text{N} & \text{CH}_2 \\ \hline & \text{N} & \text{Me} \\ \hline & \text{N} & \text{N} \\ \hline$$

● HCl

RN 236416-46-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[5-[[2-(dimethylamino)ethyl](phenylsulfonyl)amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} O & NH \\ Ph-S = O & CH_2 \\ Me_2N-CH_2-CH_2-N & N \\ Me & Me \end{array}$$

●2 HCl

RN 237750-39-9 HCAPLUS

CN Benzenecarboximidamide, 4-[[1-methyl-5-[[2-(4-methyl-1-piperazinyl)-2-oxoethyl](phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 237750-41-3 HCAPLUS

CN Butanoic acid, 3-amino-4-[[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl](8-quinolinylsulfonyl)amino]acetyl]amino]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L9 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:276771 HCAPLUS

DOCUMENT NUMBER: 122:68173

ORIGINAL REFERENCE NO.: 122:12811a,12814a

TITLE: silver halide color photographic material

INVENTOR(S):
Iizuka, Hiroyuki

PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 45 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06110166	А	19940422	JP 1992-256841	19920925 <
PRIORITY APPLN. INFO.:			JP 1992-256841	19920925
GI				

AB A silver halide color photog. material showing improved storage stability before exposure comprises blue-, green-, and red-sensitive silver halide emulsion layers, wherein ≥ 1 of the blue-sensitive silver halide emulsion layers contains ≥ 1 coupler represented by the formula I (R1 = a nonmetallic atomic group necessary for forming a 5-membered unsatd. heterocyclic ring along with the -N=(C)NR2- residue; R2=H, alkyl,

alkenyl, alkynyl, an aromatic group, or heterocyclyl; R3 = alkyl, alkenyl, alkynyl, an aromatic group, alkoxy, aryloxy, heterocyclyloxy, or NR4R5; R4, R5 = H, alkyl, alkenyl, alkynyl, an aromatic group, or heterocyclyl; X = a group releasing upon reaction with an oxidized aromatic primary amine developer) and ≥ 1 noncolor-forming compound represented by the formula R6R7R8COH (R6 = alkyl, alkenyl, or aryl; R7, R8 = H, alkyl, alkenyl, or aryl).

IT 144761-75-1

RL: TEM (Technical or engineered material use); USES (Uses) (yellow photog. coupler)

RN 144761-75-1 HCAPLUS

CN Benzoic acid, 3-[[2-[4-ethoxy-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]-2-[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]acetyl]amino]-4-methoxy-, dodecyl ester (CA INDEX NAME)

L9 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:508789 HCAPLUS

DOCUMENT NUMBER: 121:108789

ORIGINAL REFERENCE NO.: 121:19651a, 19654a

TITLE: Preparation of substituted benzimidazole derivs. for

use as pesticides

INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker;

Boehm, Stefan; Marhold, Albrecht; Goergens, Ulrich; Stendel, Wilhelm; Dehne, Heinz Wilhelm; Santel, Hans

Joachim

PATENT ASSIGNEE(S): Bayer A.-G., Germany SOURCE: Ger. Offen., 67 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4237557	A1	19940511	DE 1992-4237557	19921106 <
CA 2148612	A1	19940526	CA 1993-2148612	19931025 <

	2148612 9411349			C		WO 1993-EP2946		10021025	
WO		D.D.							<
	•					KR, KZ, NZ, RU, SK,			
	RW: AT,	BE,	CH,	DE,	DK, ES, FR,	GB, GR, IE, IT, LU,	MC,	NL, PT, SE	
AU	9453377			A	19940608	AU 1994-53377		19931025	<
EP	667861			A1	19950823	EP 1993-923545		19931025	<
EP	667861			В1	20000719				
	R: AT,	BE,	CH,	DE,	DK, ES, FR,	GB, IE, IT, LI, NL,	PT,	SE	
HU	72091			A2	19960328	HU 1995-1292		19931025	<
JP	08506088	}		Τ	19960702	JP 1994-511643		19931025	<
BR	9307389			Α	19990831	BR 1993-7389		19931025	<
AT	194834			T	20000815	AT 1993-923545		19931025	<
ES	2148242			Т3	20001016	ES 1993-923545		19931025	<
US	5656649			Α	19970812	US 1995-428087		19950525	<
US	5863933			Α	19990126	US 1997-822565		19970319	<
PRIORITY	APPLN.	INFO	.:			DE 1992-4237557	I	A 19921106	
						WO 1993-EP2946	V	N 19931025	
						US 1995-428087	I	A3 19950525	

OTHER SOURCE(S): MARPAT 121:108789

$$X^{2}$$
 X^{3}
 X^{1}
 X^{2}
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 X^{1}
 X^{2}
 X^{3}
 X^{4}
 X^{1}
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 X^{4}
 X^{5}
 X^{5

- AB A process for the preparation of benzimidazoles of the general formula I wherein R1 can be H, alkyl, alkoxy, or substituted aryl and R2 can be OH, CN, or alkyl, aryl, alkenyl, amino, alkoxycarbonyl, etc. and R3 is fluoroalkyl and X1, X2, X3 are independently H, halogen, cyano, nitro, or substituted alkyl, alkoxy, alkylsulfonyl, amino, aryl, etc. comprises the treatment of benzimidazole derivative of formula II (X1, X2, X3, X4, R3 as above) with compound of formula ACHR1R2 (R1, R2 as above) wherein A represents a specific leaving group. E.g., 5(6)-phenyl-2-trimethyl-1H-benzimidazole and KCO3 and EtOAc are refluxed for 15 min. whereupon chloromethyl Et ether in EtOAc is added and refluxed to give 1-ethoxymethyl-5(6)-phenyl-2-trifluoromethylbenzimidazole as a mixture of 1:1 regioisomers in 71%. Compds. of formula I are shown to be useful as pesticides against a variety of insect pests.
- IT 156493-71-9P 156493-72-0P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 156493-71-9 HCAPLUS
- CN Benzenesulfonamide, 2-chloro-N-[1-(ethoxymethy1)-2-(trifluoromethy1)-1H-benzimidazol-5-y1]- (CA INDEX NAME)

RN 156493-72-0 HCAPLUS

CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]-2-(trifluoromethyl)- (CA INDEX NAME)

L9 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:499774 HCAPLUS

DOCUMENT NUMBER: 121:99774

ORIGINAL REFERENCE NO.: 121:17707a,17710a

TITLE: Preparation of substituted benzimidazoles as

protozoacides.

INVENTOR(S): Lunkenheimer, Winfried; Baasner, Bernd; Lieb, Folker;

Haberkorn, Axel

PATENT ASSIGNEE(S): Bayer A.-G., Germany SOURCE: Ger. Offen., 102 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	ENT	NO.			KINI)	DATE		A	ΔPP	LICATION NO			DATE	
	DE	4237	617			A1	_	1994	0511	 D	 E	1992-423761	.7		19921106	<
	AU	9348	731			Α		1994	0519	A	U	1993-48731			19930930	<
	AU	6703	17			В2		1996	0711							
	ΕP	5973	04			A1		1994	0518	E	ΞP	1993-117243			19931025	<
	ΕP	5973	04			В1		2001	0110							
		R:	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT	, LI, NL, S	E			
	ES	2154	641			Т3		2001	0416	E	S	1993-117243			19931025	<
	US	5482	956			Α		1996	0109	U	JS	1993-146634			19931029	<
	JΡ	0621	9946			Α		1994	0809	J	ſΡ	1993-296008			19931102	<
	GR	3035	574			Т3		2001	0629	G	ŝR	2001-400421			20010314	<
PRIOF	ZTIS	Z APP	LN.	INFO.	:					Ε	Œ	1992-423761	.7 P	Ā	19921106	
OTHER	SC	URCE	(S):			MARI	PAT	121:	99774	4						
GI																

$$X^{2}$$
 X^{3}
 X^{4}
 X^{1}
 X^{1}
 X^{2}
 X^{1}
 X^{2}
 X^{3}
 X^{4}
 X^{4}
 X^{4}
 X^{5}

AB The benzimidazoles I [X1-4=H,halo,CN,NO2,(un)substituted alkyl, alkoxy, etc.; R=fluoroalkyl;R1=(un)substituted alkyl,dialkoxyphosphonyl, etc.] are prepared as protozoacides. 5(6)-Phenyl-2-trifluoromethyl-1H-benzimidazole (preparation given) was refluxed with chloromethyl Et ether, in

K2CO3-containing Et

acetate, to give 1-ethoxymethyl-5(6)-phenyl-2-trifluoromethyl-1H-benzimidazole. I (not specified) was used for treatment of coccidiosis in chicken.

RN 156493-70-8 HCAPLUS

CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 156493-71-9 HCAPLUS

CN Benzenesulfonamide, 2-chloro-N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 156493-72-0 HCAPLUS

CN Benzenesulfonamide, N-[1-(ethoxymethyl)-2-(trifluoromethyl)-1H-benzimidazol-5-yl]-2-(trifluoromethyl)- (CA INDEX NAME)

=> d 19 ibib abs 11-21

L9 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:120558 HCAPLUS

DOCUMENT NUMBER: 120:120558

ORIGINAL REFERENCE NO.: 120:21057a,21060a

TITLE: Color photographic material and its processing

INVENTOR(S): Obayashi, Keiji

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 80 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04184435 PRIORITY APPLN. INFO.:	A	19920701	JP 1990-314526 JP 1990-314526	19901120 < 19901120
GI				

$$\begin{array}{c|c}
 & O \\
 & O \\
 & CH - C - R^3 \\
 & X \\
 & R^2
\end{array}$$

AB The title photog. material contains a coupler I [R1 = nonmetallic atoms required to complete a 5-membered unsatd. heterocyclyl; R2 = H, alkyl, alkenyl, alkynyl, aromatic group, heterocyclyl; R3 = alkyl, alkenyl, alkynyl, aromatic group, heterocyclyloxy, NR4R5; R4-5 = H, alkyl, alkenyl, alkynyl, aromatic group, heterocyclyl; X = group releasable on reaction with oxidized developer], and a compound which will release a bleaching assistant or its precursor on reaction with the oxidized developer. The photog. material is processed within 3.25 min. following color development. Improved graininess and sharpness are achieved.

L9 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:505749 HCAPLUS

DOCUMENT NUMBER: 119:105749

ORIGINAL REFERENCE NO.: 119:18835a, 18838a

10572826

TITLE: Silver halide color photographic material having

improved graininess and light fastness

INVENTOR(S): Obayashi, Keiji

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 158 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04235550 PRIORITY APPLN. INFO.:	A	19920824	JP 1991-12686 JP 1991-12686	19910111 < 19910111

AB A Ag halide color photog. material having ≥1 photosensitive emulsion layer on a support comprises a coupler or a yellow-colored cyan coupler I [R1 = nonmetallic atomic group forming a 5-membered unsatd. heterocyclyl with II; R2 = H, alkyl, alkenyl, alkynyl, aromatic, heterocyclyl; R3 = alkyl, alkenyl, alkynyl, aromatic, alkoxy, aryloxy, heterocyclic oxy, NR4R5; R4,5 = H, alkyl, alkenyl, arom or heterocyclic alkynyl; X = moiety being released in reaction with aromatic primary amine developing agent].

L9 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:417801 HCAPLUS

DOCUMENT NUMBER: 119:17801

ORIGINAL REFERENCE NO.: 119:3185a,3188a

TITLE: Color photographic material with high photosensitivity

and image density

INVENTOR(S): Obayashi, Keiji

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 88 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04184433	A	19920701	JP 1990-314522	19901120 <
PRIORITY APPLN. INFO.:			JP 1990-314522	19901120

GI For diagram(s), see printed CA Issue.

AB The title photog. material contains a coupler I [R1 = nonmetallic atoms required to complete a 5-membered unsatd. heterocyclyl; R2 = H, alkyl,

alkenyl, alkynyl, aromatic group, heterocyclyl; R3 = alkyl, alkenyl, alkynyl, aromatic group, alkoxy, aryloxy, heterocyclyloxy, NR4R5; R4-5 = H, alkyl, alkenyl, alkynyl, aromatic group, heterocyclyl; X = group releasable on reaction with oxidized developer], and an acylacetoanilide type coupler containing a group II [R1 = monovalent group; Q = nonmetallic atoms required to complete a 3- to 5-membered ring].

L9 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:222730 HCAPLUS

DOCUMENT NUMBER: 118:222730

ORIGINAL REFERENCE NO.: 118:38233a,38236a

TITLE: Silver halide color photographic material

INVENTOR(S): Obayashi, Keiji; Saito, Naoki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp.

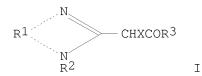
CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04133052 PRIORITY APPLN. INFO.:	A	19920507	JP 1990-254727 JP 1990-254727	19900925 < 19900925
GI				



AB The title material which comprises a support having thereon one or more blue-sensitive silver halide emulsion layers, one or more green-sensitive silver halide emulsion layers contains a yellow coupler represented by general structure I. For I, R1 = nonmetallic atoms for forming, together with N:CNR2, a 5-membered unsatd. heterocyclic ring; R2 = H, alkyl, alkenyl, alkynyl, etc.; R3 = alkyl, alkenyl, alkynyl, alkoxy, etc.; X = a group to be released upon reaction with an oxidized aromatic primary amine developing agent. The title material gives excellent color reproduction

L9 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:157655 HCAPLUS

DOCUMENT NUMBER: 118:157655

ORIGINAL REFERENCE NO.: 118:26859a,26862a

TITLE: Novel yellow coupler containing silver halide color

photographic material

INVENTOR(S): Saito, Naoki; Obayashi, Keiji PATENT ASSIGNEE(S): Fuji Shashin Film K. K., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

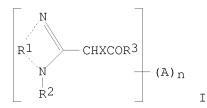
10572826

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
TD 0400EE27	70	10000010	TD 1000 201045		10000720
JP 04085537	A	19920318	JP 1990-201845		19900730 <
JP 2964011	B2	19991018	TTO 1001 B0B0B4		10010700
US 5187056	A	19930216	US 1991-737274	_	19910729 <
PRIORITY APPLN. INFO.:			JP 1990-201845	A	19900730
GT					



AB The title color photog. material contains in ≥ 1 of its hydrophilic colloid layers (I) [R1 = atoms required to complete an unsatd. heterocycle; R2 = H, aliphatic, aromatic, or heterocyclic ring; R3 = organic residue; X = group releasable on reacting with oxidized primary aromatic amine-type developer; A = acidic release group substitutable at random; n ≥ 1 ; when A is a substituent on X, X released on reaction with the oxidized developer does not react further with the oxidized developer. Color image sharpness and color reproducibility are improved, high sensitivity is achieved, and color image stability is also achieved.

L9 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:136082 HCAPLUS

DOCUMENT NUMBER: 118:136082

ORIGINAL REFERENCE NO.: 118:23285a,23288a

TITLE: Silver halide color photographic material containing

novel yellow coupler

INVENTOR(S): Obayashi, Keiji

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 90 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04172446	А	19920619	JP 1990-300304	19901106 <
PRIORITY APPLN. INFO.:			JP 1990-300304	19901106
GI				

A Ag halide color photog. material comprises a yellow coupler I [R1 = AΒ non-metallic atomic group forming 5-membered unsatd. heterocyclyl with N:C-NR2; R2 = H, alkyl, alkenyl, alkynyl, aromatic, heterocyclyl; R3 = alkyl, alkenyl, alkynyl, aromatic, alkoxy, aryloxy, heterocyclyloxy, NR4R5; R4,5 = H, alkyl, alkenyl, alkynyl, aromatic, heterocyclyl; X = moiety released upon reaction with oxidation product of aromatic primary amine developing agent] and a compound or its precursor capable of scavenging an oxidation product of a development agent.

ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

1993:136071 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 118:136071

ORIGINAL REFERENCE NO.: 118:23281a,23284a

TITLE: Silver halide color photographic material

INVENTOR(S): Obavashi, Keiji

Fuji Photo Film Co., Ltd., Japan PATENT ASSIGNEE(S): Jpn. Kokai Tokkyo Koho, 81 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04163546 PRIORITY APPLN. INFO.:	А	19920609	JP 1990-291394 JP 1990-291394	19901029 < 19901029

AΒ The title material which comprises a support having thereon one or more photosensitive silver halide emulsion layers contains a coupler represented by I and a pyrazolotriazole coupler. For I, R1 = nonmetallic atoms which, together with N:CNR2, form a 5-membered unsatd. heterocyclic ring; R2 = H, alkyl, alkenyl, alkynyl, etc.; R3 = alkyl, alkenyl, alkynyl, alkoxy, etc.; X = a group to be released upon reaction with an oxidized aromatic primary amine developing agent. The use of the title material gives high-quality images.

ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN 1993:136061 HCAPLUS ACCESSION NUMBER:

10572826

DOCUMENT NUMBER: 118:136061

ORIGINAL REFERENCE NO.: 118:23281a, 23284a

TITLE: Silver halide color photographic material with high

sensitivity and excellent graniness

INVENTOR(S): Obayashi, Keiji; Saito, Naoki PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04121737 PRIORITY APPLN. INFO.:	A	19920422	JP 1990-242217 JP 1990-242217	19900912 < 19900912
GI				

$$\begin{array}{c|c}
N & O \\
\parallel & \parallel \\
N & \parallel \\
N & \parallel \\
R^2 & I
\end{array}$$

AB In a Ag halide color photog. material having ≥1 photosensitive emulsion layer on a support, the material is characterized in that the material contains a (yellow) coupler I [R1 = nonmetal atomic group forming 5-membered unsatd. heterocyclyl with N:C(NR2); R2 = H, alkyl, alkenyl, alkynyl, aromatic, alkoxy, aryl, oxy, heterocyclyloxy, NR4R5; R4,5 = H, alkyl, alkenyl, alkynyl, aromatic, heterocyclyl; X = moiety released during reaction with an oxidized product of aromatic primary amine developing agent] and the emulsion layer(s) contains sheet-structure AgBrI with a AgI content of 15-45 mol% and chemical-sensitized Ag halide grains with a AgI content ≥7 mol%.

L9 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:90699 HCAPLUS

DOCUMENT NUMBER: 118:90699

ORIGINAL REFERENCE NO.: 118:15727a,15730a

TITLE: Silver halide color photographic material

INVENTOR(S): Obayashi, Keiji; Saito, Naoki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 65 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04163547	A	19920609	JP 1990-291395	19901029 <

PRIORITY APPLN. INFO.: JP 1990-291395 19901029

GΙ

$$R1$$
 CHXCOR³ $R2$ I

AB In the title material comprising a support having thereon one or more Ag halide emulsion layers, at least 50% of the total projection area of Ag halide grains of the emulsion layers belongs to tabular grains with an average aspect ratio ≥2:1. At least one of the Ag halide emulsion layers in the title material contains a coupler represented by I (R1 = nonmetallic atoms which, together with the NCNR2 moiety, form a 5-membered unsatd. heterocyclic ring; R2 = H, alkyl, alkenyl, alkynyl, etc.; R3 = alkyl, alkenyl, alkoxy, etc.; X = a group to be released at the time of reaction with an oxidized aromatic primary amine developing agent). The title material gives excellent color reproduction

L9 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1993:90695 HCAPLUS

DOCUMENT NUMBER: 118:90695

ORIGINAL REFERENCE NO.: 118:15727a,15730a

TITLE: Silver halide color photographic material

INVENTOR(S):
Obayashi, Keiji

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 81 pp.

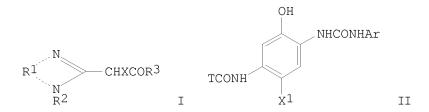
CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
JP 04156540	А	19920529	JP 1990-282512	19901019 <				
PRIORITY APPLN. INFO.:			JP 1990-282512	19901019				
GT								



AB The title material which comprises a support having thereon one or more photosensitive Ag halide emulsion layers contains a coupler represented by I (R1 = nonmetallic atoms which, together with N:CNR2, form a 5-membered unsatd. heterocyclic ring; R2 = H, alkyl, alkenyl, etc.; R3 = alkyl,

а

alkenyl, alkynyl, etc.; X = a group to be released at the time of reaction with an oxidized aromatic primary amine developing agent) and a coupler represented by II (T = an aliphatic group, an aromatic group, heterocyclyl; Ar

an aromatic group; X1 = H, a group to be released upon coupling reaction with an oxidized aromatic primary amine developing agent). The title material also contains a mercaptoheterocyclic compound, a benzimidazole derivative, and

phenolic compound The title material gives high-quality images.

L9 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:419030 HCAPLUS

DOCUMENT NUMBER: 77:19030

ORIGINAL REFERENCE NO.: 77:3193a,3196a

TITLE: Benzo[1,2-d:3,4-d']diimidazole derivatives. II.

Behavior of 3,6-dimethyl- and

3,6,7-trimethylbenzo[1,2-d:3,4-d']diimidazole toward

nucleophilic agents

AUTHOR(S): Koshienko, Yu. V.; Simonov, A. M.; Pozharskii, A. F.

CORPORATE SOURCE: Rostov.-na-Donu Gos. Univ., Rostov-on-Don, USSR SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1971

), 7(8), 1132-5

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

AB MO-calcn. revealed that a lower electron d. at C-2 and C-7 of 3,6-dimethylbenzo[1,2-d:3,4-d']-diimidazole (I, R = R1 = H) facilitated nucleophilic substitution at these positions. I and KOH (300-10°) yield a mixture of 46% I (R1 = OH, R = H) and 35% I (R1 = R = OH). Analogously the corresponding 3,6,7-tri-Me derivative I (R = Me, R1 = OH) (II) was hydroxylated at C-2. The hydroxy derivs. reacted via the tautomeric oxo-forms. II was prepared from the benzimidazole deriv, (III, R1 = H) and urea (30 min at 160°). Amination of I or II did not occur with NaNH2. The 2-amino derivative I (R = H, R1 = NH2) was prepared from III (R1 = NH2) using the cyclization with BrCN.

=> FIL REGISTRY

COST IN U.S. DOLLARS

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ENTRY SESSION
163.44 538.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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TOTAL

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STRUCTURE FILE UPDATES: 25 MAY 2009 HIGHEST RN 1149058-00-3 DICTIONARY FILE UPDATES: 25 MAY 2009 HIGHEST RN 1149058-00-3

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

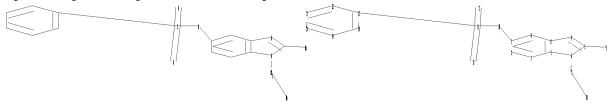
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http://www.cas.org/support/stngen/stndoc/properties.html

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10 11 12 13 15 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 19 20 21 22 23 24
chain bonds :
3-10 5-15 6-17 10-11 11-12 11-13 11-23 17-18
ring bonds :
1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 5-6 \quad 5-9 \quad 6-7 \quad 7-8 \quad 8-9 \quad 19-20 \quad 19-24 \quad 20-21 \quad 21-22 \quad 22-23
exact/norm bonds :
3-10 5-6 5-9 5-15 6-7 8-9 10-11 11-12 11-13 11-23 17-18
exact bonds :
6 - 17
normalized bonds :
1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 7-8 \quad 19-20 \quad 19-24 \quad 20-21 \quad 21-22 \quad 22-23 \quad 23-24
isolated ring systems :
containing 1 :
```

G1:Ph,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom

L11 STRUCTURE UPLOADED

=> d 111

L11 HAS NO ANSWERS

L11 STR

G1 Ph, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 111

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SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS 19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2442 TO 3958 PROJECTED ANSWERS: 119 TO 641

L12 19 SEA SSS SAM L11

=> s 111 sss full

FULL SEARCH INITIATED 15:50:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2632 TO ITERATE

100.0% PROCESSED 2632 ITERATIONS 243 ANSWERS

SEARCH TIME: 00.00.01

243 SEA SSS FUL L11 L13

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chain nodes :
10 11 12 13 15 17 18 26
ring nodes :
1 2 3 4 5 6 7 8 9 19 20 21 22 23 24
chain bonds :
3-10 5-15 6-17 10-11 10-26 11-12 11-13 11-23 17-18
ring bonds :
1 - 2 \quad 1 - 7 \quad 2 - 3 \quad 3 - 4 \quad 4 - 8 \quad 5 - 6 \quad 5 - 9 \quad 6 - 7 \quad 7 - 8 \quad 8 - 9 \quad 19 - 20 \quad 19 - 24 \quad 20 - 21 \quad 21 - 22 \quad 22 - 23
 23-24
exact/norm bonds :
3-10 5-6 5-9 5-15 6-7 8-9 10-11 10-26 11-12 11-13 11-23 17-18
exact bonds :
6 - 17
normalized bonds :
1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 7-8 \quad 19-20 \quad 19-24 \quad 20-21 \quad 21-22 \quad 22-23 \quad 23-24
isolated ring systems :
containing 1 : 19 :
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G1:Ph,Cy,Hy

G2:Cb, Ak, H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 26:CLASS

L14 STRUCTURE UPLOADED

=> d 114

10572826.trn 05/26/2009 Page 207

10572826

L14 HAS NO ANSWERS L14STR

G1 Ph, Cy, Hy G2 Cb, Ak, H

Structure attributes must be viewed using STN Express query preparation.

=> s 114

SAMPLE SEARCH INITIATED 15:53:39 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS 19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** PROJECTED ITERATIONS: 2442 TO 3958 PROJECTED ANSWERS: 119 TO 641

L15 19 SEA SSS SAM L14

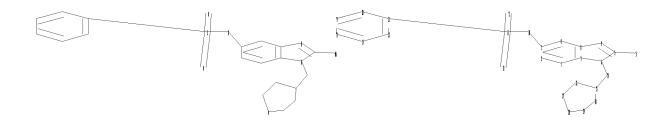
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FULL SEARCH INITIATED 15:53:48 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 2632 TO ITERATE

100.0% PROCESSED 2632 ITERATIONS 243 ANSWERS SEARCH TIME: 00.00.01

L16 243 SEA SSS FUL L14

Uploading C:\Program Files\Stnexp\Queries\10572826d.str



chain nodes : 10 11 12 13 15 24 ring nodes : $1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21 \quad 22 \quad 25 \quad 26 \quad 27 \quad 28 \quad 29 \quad 30$ chain bonds : 3-10 5-15 6-24 10-11 11-12 11-13 11-21 24-25 ring bonds : exact/norm bonds : 3-10 5-6 5-9 5-15 6-7 6-24 8-9 10-11 11-12 11-13 11-21exact bonds : 24-25 25-26 25-30 26-27 27-28 28-29 29-30 normalized bonds : $1-2 \quad 1-7 \quad 2-3 \quad 3-4 \quad 4-8 \quad 7-8 \quad 17-18 \quad 17-22 \quad 18-19 \quad 19-20 \quad 20-21 \quad 21-22$ isolated ring systems : containing 1 : 17 : 25 :

G1:Ph,Cy,Hy

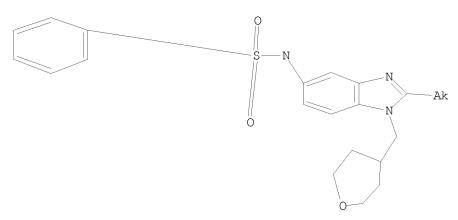
G2:Cb,Ak,H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

L17 STRUCTURE UPLOADED

=> d 117 L17 HAS NO ANSWERS L17 STR



G1 Ph,Cy,Hy G2 Cb,Ak,H

Structure attributes must be viewed using STN Express query preparation.

=> s 117

SAMPLE SEARCH INITIATED 15:57:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 120 TO ITERATE

100.0% PROCESSED 120 ITERATIONS 19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1743 TO 3057 PROJECTED ANSWERS: 119 TO 641

L18 19 SEA SSS SAM L17

=> s 117 sss full

FULL SEARCH INITIATED 15:57:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2199 TO ITERATE

100.0% PROCESSED 2199 ITERATIONS 225 ANSWERS

SEARCH TIME: 00.00.01

L19 225 SEA SSS FUL L17

=> FIL HCAPLUS

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L22 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224232 HCAPLUS

DOCUMENT NUMBER: 134:266307
TITLE: Preparation of

2-arylethyl-5-arylsulfonamidobenzimidazoles as

tryptase inhibitors.

INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans;

Disse, Bernd; Hoenke, Christoph; Jennewein, Hans

Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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AT ES	JP 2003510310 AT 236887 ES 2192543			T T T3		20030318 20030415 20031016			JP 2001-526514 AT 2000-960686 ES 2000-960686 MX 2002-2622					20000921 < 20000921 <				

PRIORITY APPLN. INFO.:

DE 1999-19945787 A 19990924 US 1999-157278P P 19991001 WO 2000-EP9237 W 20000921

OTHER SOURCE(S):

MARPAT 134:266307

GΙ

$$R^3 SO_2 N_4$$
 R^2 I

IT 331766-41-7P 331766-46-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

RN 331766-41-7 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-

(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} O \\ Ph-S = O \\ Et_2N-CH_2-CH_2-N \\ N-CH_2 \end{array}$$

RN 331766-46-2 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[5-[[2-(diethylamino)ethyl](phenylsulfonyl)amino]-1-[(tetrahydro-2-furanyl)methyl]-1H-benzimidazol-2-yl]ethyl]-N-hydroxy- (CA INDEX NAME)

=> d 120 ibib abs tot

L20 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295544 HCAPLUS

DOCUMENT NUMBER: 144:350681

TITLE: Benzimidazole derivatives, and their pharmaceutical

compositions, preparation and their cannabinoid

receptor binding affinity and use in therapy, such as

pain management

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed. SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

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                                               IN 2007-DN1630
                                                                       20070228
PRIORITY APPLN. INFO.:
                                               WO 2004-GB4112
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                                               WO 2005-SE1401 W 20050922
                         MARPAT 144:350681
OTHER SOURCE(S):
GΙ
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Compds. of formula I, or pharmaceutically acceptable salts and compns., AB the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I wherein R1 is C1-6 alkyl or C3-6 cycloalkyl; R2 is H or Me; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof, and methods for their preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride to give N-(4-fluoro-3-nitrophenyl) acetamide, which was reacted with 4-aminomethyltetrahydropyran to give N-{3-nitro-4-[(tetrahydro-2H-pyran-4-ylmethyl)amino]phenyl}acetamide, which was reduced; the resulting N-{3-amino-4-[(tetrahydro-2H-pyran-4ylmethyl)amino]phenyl}acetamide underwent cyclization with trimethylacetyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-

benzimidazol-5-yl]acetamide, which was deacetylated to give the benzimidazol-5-amine derivative, which was sulfonylated with 4-nitrobenzenesulfonyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4nitrobenzenesulfonamide, which was reduced to N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4aminobenzenesulfonamide, which reacted with trimethylacetyl chloride to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the Ki towards human CB1 receptors for certain invention

compds. was found to be in the range of between 1.8 nM and 682 nM. ${\sf Emax}$ for these compound were determined to be in the range of between 78% and 157%. THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 4

compds. are in the range of between 2.8 nM and 1846 nM. EC50 for these

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295541 HCAPLUS

DOCUMENT NUMBER: 144:350678

TITLE: Preparation of benzimidazole derivatives for treatment

of pain

Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole, INVENTOR(S):

Christopher; Yang, Hua

AstraZeneca AB, Swed. PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PAT	ENT	NO.			KIND		DATE		APPLICATION NO.						DATE			
WO 2006033628					A1		2006	0330	,	WO 2	005-	20050922						
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		O T ,	DIV,	T 1/	Dr,	DU ,	Cr,	cu,	\cup_{\perp} ,	C1.1,	JA,	GIV,	GV,	GW,	1.111	1.117	1417	

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PRIORITY APPLN. INFO.:
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                                                                A 20040924
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                                                                Α
                                            WO 2005-SE1400
                                                                W 20050922
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OTHER SOURCE(S): MARPAT 144:350678

AB Benzimidazoles I (G = 0, Cf2; R1, R2 = H, OH, alkyl, alkoxy, hydroxyalkyl; R3,R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of $4-\{[2-\text{tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl](methyl)amino]sulfonyl}benzoic acid with ethanolamine in DMF in the presence of diisopropylethylamine at room temperature for 3 h gave 62% <math>4-\{[2-\text{tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl](methyl)amino]sulfonyl}-N-(2-hydroxyethyl)benzamide as trifluoroacetate salt.$

Ι

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295540 HCAPLUS

DOCUMENT NUMBER: 144:350677

TITLE: Preparation of benzimidazole derivatives as

cannabinoid receptor ligands

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole,

> Christopher; Yang, Hua AstraZeneca AB, Swed.

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PAI	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
WO	2006	 0336	 32		 A1	_	2006	0330		 WO 2	 005-	 SE14	 04		2	 0050	 922
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WO	2005	0307	61		A1		2005	0407		WO 2	004-	GB41	12		2	0040	924
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EP 1794150	A1	20070613	EP 2005-786524		20050922
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IS, IT, LI,	LT,	LU, LV, MC,	NL, PL, PT, RO, SE, S	SI, S	SK, TR
CN 101052637	A	20071010	CN 2005-80031826		20050922
JP 2008514594	T	20080508	JP 2007-533434		20050922
IN 2007DN01631	A	20070803	IN 2007-DN1631		20070228
PRIORITY APPLN. INFO.:			WO 2004-GB4112	A	20040924
			WO 2004-GB4126	A	20040924
			US 2004-640309P	P	20041230
			SE 2003-2570	A	20030926
			SE 2003-2571	A	20030926
			WO 2004-GB4116	А	20040924
			WO 2005-SE1404	W	20050922

OTHER SOURCE(S): MARPAT 144:350677

GΙ

AB Benzimidazoles I (R1, R2 = H, alkyl, alkoxy, hydroxyalkyl; R3, R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared compds. are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of 2-tert-butyl-N-methyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-amine with 4-ureidobenzenesulfonyl chloride in DMF in the presence of p-dimethylaminopyridine at room temperature for 4 h gave 39% 4-[(aminocarbonyl)amino]-N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-N-methylbenzenesulfonamide as trifluoroacetate salt.

Т

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295538 HCAPLUS

DOCUMENT NUMBER: 144:350675

TITLE: Benzimidazole derivatives, and their pharmaceutical

compositions, preparation and their cannabinoid

receptor binding affinity and use in therapy, such as

pain management

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Milburn,

Claire; Walpole, Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

	PAT	CENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
	WO	2006	0336	 27		A1	_	2006	0330		WO 2	005-	 SE13	 99		2	 0050	922
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	WO	2005	•		,	A1	- ,	2005	0407		WO 2	004-	GB41	12		2	0040	924
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	CN	1010	2729	2		A		2007	0829		CN 2	005-	8003	2338		2	0050	922
	JΡ	2008	5145	89		Τ		2008	0508		JP 2	007-	5334	29		2	0050	922
	IN	2007	DN01	720		A		2007	0824		IN 2	007-	DN17	20		2	0070	305
PRIOR	RITS	APP:	LN.	INFO	. :						WO 2	004-	GB41	12		A 2	0040	924
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OTHER	SC	DURCE	(S):			MAR	PAT	144:	3506	75								
GI																		

AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I where in G is O and CF2; R1 and R2 are independently H, C1-4 alkyl, HO-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, or C1-4 alkoxy; R1R2 together with the N to which they are bound may form a C3-6 heterocycle; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof, and methods for preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride followed by to give N-(4-fluoro-3-nitrophenyl)-N-methyl-acetamide, which underwent aminationwith (4,4-difluorocyclohexylmethyl)amine TFA salt to give N-(4-{[(4,4-difluorocyclohexyl)methyl]amino}-3-nitrophenyl)-N-methylacetamide, which was reduced at the nitro group to give the corresponding amine, which cyclized with trimethylacetyl chloride; the resulting N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl}-Nmethyl-acetamide was deacetylated to give N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]}-N-methyl-1Hbenzimidazol-5-amine, which underwent sulfonylation with 4-formylbenzenesulfonyl chloride to give the corresponding 4-formylphenylsulfonamide, which underwent reductive amination with 2-aminoethanol to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the Ki towards human CB1 receptors for certain invention compds. are in the range of between 9 nM and 1175 nM. EC50 for these compds. was found to be in the range of between 12 nM and

49 nM. Emax for these compound were determined to be in the range of between 109% and 143%.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300439 HCAPLUS

DOCUMENT NUMBER: 142:373834

Preparation of benzimidazoles as cannabinoid receptor TITLE:

modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.

PCT Int. Appl., 104 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PAT	TENT 1	ΝΟ.			KIN	D	DATE			APPL	ICAT	ION I	мо.		D.	ATE	
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ED	1707	•	KΔ,	MD,	•	IU,	TM	0.000		מח	0 0 E	7064	Λ1		2	ΛΛΕΛ	000
ĽР	1797		DE	DC	A1	CV	2007					7864	-	CD		0050	
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		TO,	± ,	шт,	шт,	цυ,	LV,	MC,	TAT .	гь,	LI,	KU,	OL,	or,	or,	ΤL	

CN 101023075	A	20070822	CN	2005-80031827		20050922
JP 2008514590	Τ	20080508	JP	2007-533430		20050922
US 20080221178	A1	20080911	US	2008-572825		20080311
PRIORITY APPLN. INFO.:			SE	2003-2572	A	20030926
			WO	2004-GB4112	Α	20040924
			WO	2004-GB4132	W	20040924
			US	2004-640498P	P	20041230
			WO	2005-SE1400	W	20050922

OTHER SOURCE(S): CASREACT 142:373834; MARPAT 142:373834

GΙ

$$Ar = S \\ 0 \\ N \\ N \\ N \\ R^2$$

$$R^2$$

$$R^3$$

$$N$$

$$R^2$$

AB The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; Ar = (un)substituted aryl, heteroaryl], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 1.7-5000 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.5-22.2 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300438 HCAPLUS

DOCUMENT NUMBER: 142:373833

TITLE: Preparation of benzimidazoles as cannabinoid receptor

modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Tremblay,

Maxime; Walpole, Christopher; Yang, Hua Astrazeneca AB, Swed.; Astrazeneca UK Ltd.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; As SOURCE: PCT Int. Appl., 254 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

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IN 2007DN01630	A	20070803	IN	2007-DN1630		20070228
IN 2007DN01631	A	20070803	IN	2007-DN1631		20070228
IN 2007DN01720	A	20070824	IN	2007-DN1720		20070305
MX 2007003121	A	20070718	MX	2007-3121		20070315
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NO 2007002090	A	20070625	ИО	2007-2090		20070423
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			WO	2004-GB4126	A	20040924
			WO	2004-GB4132	A	20040924
			US	2004-640309P	P	20041230
			US	2004-640498P	P	20041230
			SE	2005-183	A	20050124
			SE	2005-267	A	20050203
			SE	2005-453	A	20050228
			WO	2005-SE1399	W	20050922
			WO	2005-SE1400	W	20050922
			WO	2005-SE1401	W	20050922
			WO	2005-SE1404	W	20050922
			WO	2005-SE1405	W	20050922

GΙ

OTHER SOURCE(S): CASREACT 142:373833; MARPAT 142:373833

$$\begin{bmatrix} R^{3} \end{bmatrix}_{n} Ar - S \\ 0 \\ 0 \\ 0 \\ R^{2}$$

The title compds. I [R1 = alkyl, alkenyl, aryl, etc.; R2 = alkyl, alkenyl, AΒ cycloalkyl, etc.; Ar = aryl, heteroaryl; n = 0-3; R3 = H, NO2, halo, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.7-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.3-5800 nM. The pharmaceutical

composition comprising the compound I is disclosed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300416 HCAPLUS

DOCUMENT NUMBER: 142:373832

TITLE: Preparation of benzimidazoles as cannabinoid receptor

modulators for use in the management of pain

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.

SOURCE: PCT Int. Appl., 178 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

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WO	2005				A1	_	2005			 WO 2	 004-	 GB41			2	0040	
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
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WO	2006			70 -	A1		2006					SE14		DV		0050	
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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PRIORITY APPLN. INFO.:
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OTHER SOURCE(S):
                         CASREACT 142:373832; MARPAT 142:373832
GΙ
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AB The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, acyl, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from

4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.72-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.36-24.7 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS 1 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:224232 HCAPLUS

DOCUMENT NUMBER: 134:266307 TITLE: Preparation of

2-arylethyl-5-arylsulfonamidobenzimidazoles as

tryptase inhibitors.

Anderskewitz, Ralf; Braun, Christine; Briem, Hans; INVENTOR(S):

Disse, Bernd; Hoenke, Christoph; Jennewein, Hans

Michael; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PRIOR								2002	1021						5787			9990	
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											WO	2 U	100-1	1P9Z.	37		w Z	0000	921

OTHER SOURCE(S): MARPAT 134:266307

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$$R^3 SO_{2R4}$$
 N R^2 I

Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclyl, heterocyclylalkyl, etc.], were prepared Thus, N-[3-amino-4-(3,5-bistifluoromethylbenzylamino)phenyl]benzenesulfonamide (preparation given), p-cyanophenylpropionic acid, and POCl3 were heated together for 2 h at 100-120° to give 71.5% N-[2-[2-(4-cyanophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. This was stirred with HCl in EtOH at 0-5° and the residue after distillation of EtOH was treated with NH3 in EtOH to give 70.3% N-[2-[2-(4-amidinophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I inhibited tryptase with IC50 = 0.0066-0.412 $\mu \rm M$.

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L21 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295544 HCAPLUS

DOCUMENT NUMBER: 144:350681

TITLE: Benzimidazole derivatives, and their pharmaceutical

compositions, preparation and their cannabinoid

receptor binding affinity and use in therapy, such as

pain management

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed. SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2006033629	A1 20060330	WO 2005-SE1401	20050922
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LC, LK, LR,	LS, LT, LU, LV,	LY, MA, MD, MG, MK, MN	, MW, MX, MZ,
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YU, ZA, ZM,	ZW		
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PRIORITY APPLN. INFO.:
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                                                       SE 2003-2571
                                                                               A 20030926
                                                       WO 2005-SE1401
                                                                             W 20050922
OTHER SOURCE(S): MARPAT 144:350681
GΙ
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I wherein R1 is C1-6 alkyl or C3-6 cycloalkyl; R2 is H or Me; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof, and methods for their preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride to give N-(4-fluoro-3-nitrophenyl)acetamide, which was reacted with

4-aminomethyltetrahydropyran to give N-{3-nitro-4-[(tetrahydro-2H-pyran-4-ylmethyl)amino]phenyl}acetamide, which was reduced; the resulting N-{3-amino-4-[(tetrahydro-2H-pyran-4ylmethyl)amino]phenyl}acetamide underwent cyclization with trimethylacetyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1Hbenzimidazol-5-yl]acetamide, which was deacetylated to give the benzimidazol-5-amine derivative, which was sulfonylated with 4-nitrobenzenesulfonyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4nitrobenzenesulfonamide, which was reduced to N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4aminobenzenesulfonamide, which reacted with trimethylacetyl chloride to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the Ki towards human CB1 receptors for certain invention compds. are in the range of between 2.8 nM and 1846 nM. EC50 for these compds. was found to be in the range of between 1.8 nM and 682 nM. Emax for these compound were determined to be in the range of between 78% and 157%. REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295541 HCAPLUS

DOCUMENT NUMBER: 144:350678

TITLE: Preparation of benzimidazole derivatives for treatment

of pain

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

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PRIORITY APPLN. INFO.:
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                                                                   Α
                                              WO 2005-SE1400
                                                                   W
                                                                      20050922
                          MARPAT 144:350678
OTHER SOURCE(S):
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AB Benzimidazoles I (G = 0, Cf2; R1, R2 = H, OH, alkyl, alkoxy, hydroxyalkyl; R3,R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of $4-\{[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl$

Ι

benzimidazol-5-yl](methyl)amino]sulfonyl}benzoic acid with ethanolamine in DMF in the presence of diisopropylethylamine at room temperature for 3 h gave 62% $4-\{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl](methyl)amino]sulfonyl}-N-(2-hydroxyethyl)benzamide as trifluoroacetate salt.$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295540 HCAPLUS

DOCUMENT NUMBER: 144:350677

TITLE: Preparation of benzimidazole derivatives as

cannabinoid receptor ligands

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed. SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PAI	CENT :	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
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PRIORITY APPLN. INFO.:
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                                                                    W 20050922
                                               WO 2005-SE1404
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OTHER SOURCE(S): MARPAT 144:350677

AB Benzimidazoles I (R1, R2 = H, alkyl, alkoxy, hydroxyalkyl; R3, R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared compds. are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of 2-tert-butyl-N-methyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-amine with 4-ureidobenzenesulfonyl chloride in DMF in the presence of p-dimethylaminopyridine at room temperature for 4 h gave 39% 4-[(aminocarbonyl)amino]-N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-N-methylbenzenesulfonamide as trifluoroacetate salt.

Ι

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295538 HCAPLUS

DOCUMENT NUMBER: 144:350675

TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid

receptor binding affinity and use in therapy, such as

pain management

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Milburn,

Claire; Walpole, Christopher; Yang, Hua AstraZeneca AB, Swed.

PATENT ASSIGNEE(S): PCT Int. Appl., 52 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

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Ī	WO	2006				A1		2006				2005-				20050922					
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Ţ	WO	20050	0307	61		A1		2005	0050407 WO 2004-GB4112								20040924				
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· ·	JΡ	2008	5145			Τ		2008	0508		JP 2	2007-	5334.	29		2	0050	922			
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											SE 2	2005-	267		i	A 20050203					
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AB Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I where in G is O and CF2; R1 and R2 are independently H, C1-4 alkyl, HO-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, or C1-4 alkoxy; R1R2 together with the N to which they are bound may form a C3-6 heterocycle; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof, and methods for preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride followed by to give N-(4-fluoro-3-nitrophenyl)-N-methyl-acetamide, which underwent aminationwith (4,4-difluorocyclohexylmethyl)amine TFA salt to give N-(4-{[(4,4-difluorocyclohexyl)methyl]amino}-3-nitrophenyl)-N-methylacetamide, which was reduced at the nitro group to give the corresponding amine, which cyclized with trimethylacetyl chloride; the resulting N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]-1H-benzimidazol-5-yl}-Nmethyl-acetamide was deacetylated to give N-{2-tert-butyl-1-[(4,4-difluorocyclohexyl)methyl]}-N-methyl-1Hbenzimidazol-5-amine, which underwent sulfonylation with 4-formylbenzenesulfonyl chloride to give the corresponding 4-formylphenylsulfonamide, which underwent reductive amination with 2-aminoethanol to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the Ki towards human CB1 receptors for certain invention compds. are in the range of between 9 nM and 1175 nM. EC50 for these compds. was found to be in the range of between 12 nM and

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49 nM. Emax for these compound were determined to be in the range of between 109% and 143%.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300439 HCAPLUS

DOCUMENT NUMBER: 142:373834

Preparation of benzimidazoles as cannabinoid receptor TITLE:

modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.

PCT Int. Appl., 104 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

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			WO	2004-GB4112	Α	20040924
			WO	2004-GB4132	W	20040924
			US	2004-640498P	P	20041230
			WO	2005-SE1400	W	20050922

OTHER SOURCE(S): CASREACT 142:373834; MARPAT 142:373834

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$$Ar = S \\ 0 \\ N \\ N \\ R^{2}$$

$$R^{2}$$

$$R^{1}$$

$$I$$

AB The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; Ar = (un)substituted aryl, heteroaryl], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 1.7-5000 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.5-22.2 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300438 HCAPLUS

DOCUMENT NUMBER: 142:373833

TITLE: Preparation of benzimidazoles as cannabinoid receptor

modulators for use in the management of pain

INVENTOR(S): Liu, Ziping; Milburn, Claire; Page, Daniel; Tremblay,

Maxime; Walpole, Christopher; Yang, Hua Astrazeneca AB, Swed.; Astrazeneca UK Ltd.

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; As SOURCE: PCT Int. Appl., 254 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

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US 20070072853	A1	20070329	US	2006-572826		20061016
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IN 2007DN01630	A	20070803	ΙN	2007-DN1630		20070228
IN 2007DN01631	A	20070803	IN	2007-DN1631		20070228
IN 2007DN01720	A	20070824	IN	2007-DN1720		20070305
MX 2007003121	A	20070718	MX	2007-3121		20070315
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NO 2007002090	А	20070625	NO	2007-2090		20070423
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			WO	2004-GB4112	W	20040924
			WO	2004-GB4116	Α	20040924
			WO	2004-GB4124	A	20040924
			WO	2004-GB4126	Α	20040924
			WO	2004-GB4132	Α	20040924
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			WO	2005-SE1399	W	20050922
			WO	2005-SE1400	W	20050922
			WO	2005-SE1401	W	20050922
			WO	2005-SE1404	W	20050922
			WO	2005-SE1405	M	20050922

GΙ

OTHER SOURCE(S): CASREACT 142:373833; MARPAT 142:373833

$$[R^3]_{\stackrel{}{n}} Ar - \begin{bmatrix} 0 & R^4 \\ | & | \\ 0 & N \end{bmatrix} \longrightarrow R^2$$

The title compds. I [R1 = alkyl, alkenyl, aryl, etc.; R2 = alkyl, alkenyl, AΒ cycloalkyl, etc.; Ar = aryl, heteroaryl; n = 0-3; R3 = H, NO2, halo, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from 4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.7-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.3-5800 nM. The pharmaceutical

composition comprising the compound I is disclosed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300416 HCAPLUS

DOCUMENT NUMBER: 142:373832

TITLE: Preparation of benzimidazoles as cannabinoid receptor

modulators for use in the management of pain

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.

SOURCE: PCT Int. Appl., 178 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

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PRIORITY APPLN. INFO.:
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                                                                    20050922
OTHER SOURCE(S):
                         CASREACT 142:373832; MARPAT 142:373832
GΙ
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AB The title compds. I [R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.; R3 = H, alkyl, acyl, etc.; R4 = H, alkyl, cycloalkyl, etc.], useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of II, starting from

4-fluoro-3-nitroaniline, was given. The Ki towards human CB1 receptors for most compds. I is measured to be in the range of 0.72-7170 nM. The Ki towards human CB2 receptors for most compds. I is measured to be in the range of about 0.36-24.7 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L21 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295544 HCAPLUS

DOCUMENT NUMBER: 144:350681

TITLE: Benzimidazole derivatives, and their pharmaceutical compositions, preparation and their cannabinoid

receptor binding affinity and use in therapy, such as

pain management

INVENTOR(S): Liu, Ziping; Page, Daniel; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua

PATENT ASSIGNEE(S): AstraZeneca AB, Swed. SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

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OTHER SOURCE(S): MARPAT 144:350681

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Compds. of formula I, or pharmaceutically acceptable salts and compns., the preparation of these compds., and their cannabinoid (CB) receptor binding affinity are disclosed in this invention. These compds. are useful in therapy, in particular in the management of pain. Compds. of formula I wherein R1 is C1-6 alkyl or C3-6 cycloalkyl; R2 is H or Me; R3, R4, and R5 are independently F or Me; and their pharmaceutically acceptable salts, diastereoisomers, enantiomers, or mixts. thereof, and methods for their preparation are claimed in this invention. Example compound II was prepared by amidation of 4-fluoro-3-nitroaniline with acetic anhydride to give N-(4-fluoro-3-nitrophenyl)acetamide, which was reacted with 4-aminomethyltetrahydropyran to give N-{3-nitro-4-[(tetrahydro-2H-pyran-4-ylmethyl)amino]phenyl}acetamide, which was reduced; the resulting N-{3-amino-4-[(tetrahydro-2H-pyran-4vlmethyl)amino]phenyl}acetamide underwent cyclization with trimethylacetyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1Hbenzimidazol-5-yl]acetamide, which was deacetylated to give the benzimidazol-5-amine derivative, which was sulfonylated with 4-nitrobenzenesulfonyl chloride to give N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4nitrobenzenesulfonamide, which was reduced to N-[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5-yl]-4aminobenzenesulfonamide, which reacted with trimethylacetyl chloride to give compound II. All the invention compound were evaluated for their human CB1 and CB2 receptor binding affinity. From the hCB1 and hCB2 receptor binding assay, the Ki towards human CB1 receptors for certain invention compds. are in the range of between 2.8 nM and 1846 nM. EC50 for these compds. was found to be in the range of between 1.8 nM and 682 nM. Emax for these compound were determined to be in the range of between 78% and 157%. ΙT 881417-71-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate and intermediate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

RN 881417-71-6 HCAPLUS

CN Acetamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-2-fluoro-(CA INDEX NAME)

RN

CN

IT 849349-15-1P 849349-16-2P 881417-54-5P 881417-55-6P 881417-56-7P 881417-57-8P 881417-58-9P 881417-59-0P 881417-60-3P 881417-61-4P 881417-62-5P 881417-63-6P 881417-64-7P 881417-65-8P 881417-66-9P 881417-67-0P 881417-68-1P 881417-69-2P 881417-75-0P 881417-73-8P 881417-74-9P 881417-75-0P 881417-76-1P 881417-77-2P 881417-78-3P 881417-79-4P 881417-83-0P 881417-81-8P 881417-82-9P 881417-83-0P 881417-84-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management) 849349-15-1 HCAPLUS

Acetamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 849349-16-2 HCAPLUS

CN Acetamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 849349-15-1 CMF C26 H34 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-54-5 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-2,2-dimethyl- (CA INDEX NAME)

RN 881417-55-6 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 881417-56-7 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-)]]]

yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-2-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-55-6 CMF C27 H36 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-57-8 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-58-9 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-57-8 CMF C26 H34 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-59-0 HCAPLUS

CN Acetamide, 2-chloro-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-60-3 HCAPLUS

CN Cyclopropanecarboxamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-61-4 HCAPLUS

CN Cyclopropanecarboxamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-60-3 CMF C27 H34 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-62-5 HCAPLUS

CN Cyclobutanecarboxamide, N-[4-[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-63-6 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-2-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} O & \\ i-Pr-C-NH & O & Me \\ \hline & S-N & N-CH_2 \\ \hline \end{array}$$

RN 881417-64-7 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-65-8 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-64-7 CMF C27 H36 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-66-9 HCAPLUS

CN Butanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-67-0 HCAPLUS

CN Butanamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-3,3-dimethyl-(CA INDEX NAME)

RN 881417-68-1 HCAPLUS

CN Acetamide, 2-chloro-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-69-2 HCAPLUS

CN Cyclopropanecarboxamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

- RN 881417-70-5 HCAPLUS
- CN Cyclobutanecarboxamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

- RN 881417-73-8 HCAPLUS
- CN Propanamide, 3-amino-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-2,2-dimethyl- (CA INDEX NAME)

- RN 881417-74-9 HCAPLUS
- CN Acetamide, 2-amino-N-[4-[[methyl[1-[(tetrahydro-2H-pyran-4-yl)methyl]-2-(trifluoromethyl)-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-75-0 HCAPLUS

CN Acetamide, 2-amino-N-[4-[[methyl[1-[(tetrahydro-2H-pyran-4-yl)methyl]-2-(trifluoromethyl)-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-74-9

CMF C23 H26 F3 N5 O4 S

2 CM

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-76-1 HCAPLUS

Acetamide, 2-amino-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-CN yl)methyl]-1H-benzimidazol-5-yl]ethylamino]sulfonyl]phenyl]- (CA INDEX NAME)

RN 881417-77-2 HCAPLUS

CN Acetamide, 2-amino-N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]ethylamino]sulfonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-76-1 CMF C27 H37 N5 O4 S

$$H_2N-CH_2-C-NH$$

O Et

S-N

N-CH2

O Et

O CH2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-78-3 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-2,2-dimethyl- (CA INDEX NAME)

RN 881417-79-4 HCAPLUS

CN Propanamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-interval)]]]yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-2,2-dimethyl-,2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-78-3

CMF C26 H32 F2 N4 O4 S

2 CM

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-80-7 HCAPLUS

Butanamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-CN y1)methy1]-1H-benzimidazo1-5-y1]amino]sulfony1]pheny1]-3-methy1- (CA INDEX NAME)

RN 881417-81-8 HCAPLUS

CN Butanamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-interval)]]]yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-3-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-80-7

CMF C26 H32 F2 N4 O4 S

2 CM

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-82-9 HCAPLUS

CN Acetamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4y1)methy1]-1H-benzimidazol-5-y1]amino]sulfony1]pheny1]- (CA INDEX NAME)

RN 881417-83-0 HCAPLUS

CN Acetamide, N-[4-[[[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 881417-82-9

CMF C23 H26 F2 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881417-84-1 HCAPLUS

CN 1-Piperidineacetamide, N-[4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]- (CA INDEX NAME)

IT 849349-65-1P 849350-25-0P 849350-26-1P

849351-26-4P 849351-27-5P 849351-54-8P

881417-86-3P 881417-87-4P 881417-95-4P

881417-96-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

RN 849349-65-1 HCAPLUS

CN Benzenesulfonamide, 4-amino-N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-ethyl- (CA INDEX NAME)

RN 849350-25-0 HCAPLUS

CN Benzenesulfonamide, N-methyl-4-nitro-N-[1-[(tetrahydro-2H-pyran-4-yl)methyl]-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 849350-26-1 HCAPLUS

CN Benzenesulfonamide, 4-amino-N-methyl-N-[1-[(tetrahydro-2H-pyran-4-yl)methyl]-2-(trifluoromethyl)-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 849351-26-4 HCAPLUS

CN Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-methyl-4-nitro- (CA INDEX NAME)

RN 849351-27-5 HCAPLUS

10572826

CN Benzenesulfonamide, 4-amino-N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-methyl- (CA INDEX NAME)

- RN 849351-54-8 HCAPLUS
- CN Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-ethyl-4-nitro- (CA INDEX NAME)

- RN 881417-86-3 HCAPLUS
- CN Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-4-nitro- (CA INDEX NAME)

- RN 881417-87-4 HCAPLUS
- CN Benzenesulfonamide, 4-amino-N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 881417-95-4 HCAPLUS
- CN Benzenesulfonamide, N-[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-4-nitro- (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{O_2N} & & \mathsf{O} \\ & & \\ \mathsf{S} & \mathsf{NH} & & \mathsf{N} & \mathsf{CF_2} - \mathsf{Me} \\ & & & \\ \mathsf{O} & & & \mathsf{N} - \mathsf{CH_2} \\ \end{array}$$

RN 881417-96-5 HCAPLUS

CN Benzenesulfonamide, 4-amino-N-[2-(1,1-difluoroethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

IT 881417-72-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzimidazole derivs. and their cannabinoid receptor binding affinity and use in therapy, such as pain management)

RN 881417-72-7 HCAPLUS

CN Propanamide, 2-cyano-N-[4-[[[2-(1,1-dimethylethyl))-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]phenyl]-2-methyl-(CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:295541 HCAPLUS

DOCUMENT NUMBER: 144:350678

TITLE: Preparation of benzimidazole derivatives for treatment

of pain

INVENTOR(S): Page, Daniel; Liu, Ziping; Tremblay, Maxime; Walpole,

Christopher; Yang, Hua AstraZeneca AB. Swed

PATENT ASSIGNEE(S): AstraZeneca AB, Swed. SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

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PATENT NO.
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     WO 2006033628
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     WO 2005030762
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                                                 WO 2004-GB4132
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               TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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     CN 101023075
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     IN 2007DN01629
                                                  IN 2007-DN1629
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PRIORITY APPLN. INFO.:
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                                                   US 2004-640498P
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                                                                         A 20030926

      SE 2003-2570
      A 20030926

      SE 2003-2572
      A 20030926

      WO 2005-SE1400
      W 20050922

                                                   SE 2003-2570
OTHER SOURCE(S):
                            MARPAT 144:350678
GΙ
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Benzimidazoles I (G = O, Cf2; R1, R2 = H, OH, alkyl, alkoxy, hydroxyalkyl; AΒ R3,R4, R5 = F, Me) and their pharmaceutically acceptable salts are prepared They are useful in therapy, in particular in the management of pain. Thus, reaction of $4-\{[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-tetrahydro-2H-pyran-4-ylmethyl$ benzimidazol-5-yl](methyl)amino]sulfonyl}benzoic acid with ethanolamine in DMF in the presence of diisopropylethylamine at room temperature for 3 h gave 62% 4-{[[2-tert-butyl-1-(tetrahydro-2H-pyran-4-ylmethyl)-1H-benzimidazol-5yl](methyl)amino]sulfonyl}-N-(2-hydroxyethyl)benzamide as trifluoroacetate salt.

Ι

881016-94-0P 881016-97-3P 881017-00-1P TТ 881017-03-4P 881017-06-7P 881017-09-0P 881017-12-5P 881017-15-8P 881017-18-1P 881017-21-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. for treatment of pain)

RN 881016-94-0 HCAPLUS

CN Benzamide, 4-[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-(2-hydroxyethyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881016-93-9 CMF C27 H36 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

881016-97-3 HCAPLUS RN

Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-interpretation)]CN y1)methyl]-1H-benzimidazol-5-yl]-4-(2-isoxazolidinylcarbonyl)-N-methyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM1

CRN 881016-96-2 CMF C28 H36 N4 O5 S

СМ 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-00-1 HCAPLUS

CN Benzenesulfonamide, 4-(1-azetidinylcarbonyl)-N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]-N-methyl-, 2,2,2-trifluoroacetate (5:7) (CA INDEX NAME)

CM 1

CRN 881016-99-5 CMF C28 H36 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-03-4 HCAPLUS

CN Benzamide, N-cyclopropyl-4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 2,2,2-trifluoroacetate (5:3) (CA INDEX NAME)

CM 1

CRN 881017-02-3 CMF C28 H36 N4 O4 S

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 881017-06-7 HCAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-interpretation of the state of t2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

СМ 1

CRN 881017-05-6 CMF C29 H40 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-09-0 HCAPLUS

CN Benzamide, 4-[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-(2-methoxyethyl)-, 2,2,2-trifluoroacetate (2:5) (CA INDEX NAME)

CM1

CRN 881017-08-9 CMF C28 H38 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

881017-12-5 HCAPLUS RN

Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-indimethylethyl)]CN y1) methyl]-1H-benzimidazol-5-yl]-N-methyl-4-(4-morpholinylcarbonyl)-, 2,2,2-trifluoroacetate (10:27) (CA INDEX NAME)

CM1

CRN 881017-11-4 CMF C29 H38 N4 O5 S

СМ 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-15-8 HCAPLUS

CN Benzamide, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-methoxy-N-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881017-14-7 CMF C27 H36 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-18-1 HCAPLUS

CN Benzamide, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881017-17-0 CMF C25 H32 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 881017-21-6 HCAPLUS

CN Benzamide, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]-N-hydroxy-N-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 881017-20-5 CMF C26 H34 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 881017-34-1P 881017-36-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. for treatment of pain)

RN 881017-34-1 HCAPLUS

CN Benzoic acid, 4-[[[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-benzimidazol-5-yl]methylamino]sulfonyl]- (CA INDEX NAME)

881017-36-3 HCAPLUS RN

CN Benzenesulfonamide, N-[2-(1,1-dimethylethyl)-1-[(tetrahydro-2H-pyran-4-indimethylethyl)]yl)methyl]-1H-benzimidazol-5-yl]-4-formyl-N-methyl- (CA INDEX NAME)

2 REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	121.77	1223.47
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-14.76	-32.80

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